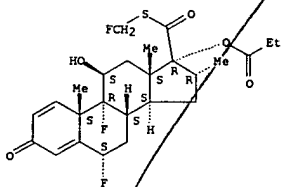


L16 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:832575 CAPLUS  
 DOCUMENT NUMBER: 137:346196  
 TITLE: Treatment of respiratory and lung diseases with antisense oligonucleotides and a bronchodilating agent  
 INVENTOR(S): Nyce, Jonathan W.; Li, Yukui; Sandrasagra, Anthony; Katz, Evans; Pabalan, Jonathan; Aguilar, Douglas; Miller, Shoreh; Tang, Lei; Shahabuddin, Syed  
 PATENT ASSIGNEE(S): Epigenesis Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 872 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085308	A2	20021031	WO 2002-US13135	20020423
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 2002085308	A2	20021031	WO 2002-KA13135	20020423
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 2002085308	A2	20021031	WO 2002-KB13135	20020423
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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L16 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 PRIORITY APPL. INFO.: US 2001-286137P P 20010424  
 WO 2002-US13135 A 20020423  
 AB This patent relates to a compn. comprising a carrier, oligonucleotides (oligos) that are antisense to adenosine receptors, and contain low ants. of or no adenosine (A), plus bronchodilating agents. All antisense oligonucleotides designed in accordance with the invention were highly effective at countering or reducing effects mediated by the receptors to which they are targeted. Two antisense phosphorothioated oligos targeting human adenosine A1 receptor mRNA, one targeting adenosine A2b receptor, and two targeting an A3 receptor are capable of countering the effect of exogenously administered adenosine which is mediated by the specific receptor they are targeted to. The activity of the antisense oligos are specific to the target and substitutively fail to inhibit another target. An oligonucleotide wherein the phosphodiester bonds are substituted with phosphorothioate bonds evidenced an unexpected superiority over the phosphodiester antisense oligo. In addn., they result in extremely low or non-existent deleterious side effects or toxicity. This represents 100% success in providing agents that are highly effective and specific in the treatment of bronchoconstriction and/or inflammation. Treatment with antisense oligonucleotides in combination with anti-inflammatory steroid and/or ubiquinones is also provided. These agents and the compn. and formulations provided are suitable for the treatment of respiratory tract, pulmonary and malignant diseases assocd. with bronchoconstriction, respiratory tract inflammation and allergies, impaired airways, including lung disease and diseases whose secondary effects afflict the lungs of a subject, such as allergies, asthma, impeded respiration, allergic rhinitis, pain, cystic fibrosis, pulmonary fibrosis, RDA, COPD, and cancers, among others. The present agents and compn. may be administered preventatively, prophylactically or therapeutically in conjunction with other therapies, or may be utilized as a substitute for therapies that have significant, neg. side effects. The method of the present invention is also practiced with antisense oligonucleotides targeted to many genes, mRNAs and their corresponding proteins in essential the same manner.  
 IT 80474-14-2, Fluticasone propionate  
 RU: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (cotreatment with antisense oligo and; treatment of respiratory and lung diseases with antisense oligonucleotides and a bronchodilating agent)  
 RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.

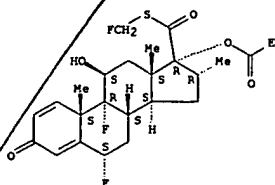
L16 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



L16 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:696454 CAPLUS  
 DOCUMENT NUMBER: 137:237727  
 TITLE: Use of electrolytes (ions in solution) to suppress charging of inhalation aerosols  
 INVENTOR(S): Rosell, Joan; Gonda, Igor; Schuster, Jeffrey; Liu, Kui  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of U.S. Ser. No. 733,610.  
 CODEN: USXXKC  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002127186	A1	20020912	US 2001-13309	20011207
US 2002106331	A1	20020808	US 2000-733610	20001208

PRIORITY APPL. INFO.: US 2000-733610 A2 20001208  
 AB Formulations are disclosed as are aerosols created therefrom. The formulations are comprised of (a) a pharmaceutically active drug which does not ionize in soln.; (b) an electrolyte; and (c) a solvent which is preferably water and/or ethanol. The electrolyte reduces electrostatic charging on particles of aerosol formed thereby enhancing characteristics of the aerosol particles which are important for efficient, repeatable intrapulmonary drug delivery. A method is disclosed whereby molar ants. of charged mols. are adjusted so as to reduce electrostatic charge on aerosolized particles created from the formulation whereby the particles are less inclined to be drawn against surfaces of a patient's upper respiratory tract. Aerosols from deionized water carried a large pos. charge, while those filled with cromolyn Na produced a reduced charge level.  
 IT 80474-14-2, Fluticasone propionate  
 RU: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (electrolytes (ions in soln.) to suppress charging of inhalation aerosols)  
 RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.



L16 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:595338 CAPLUS  
 DOCUMENT NUMBER: 137:145612  
 TITLE: Use of electrolytes (ions in solution) to suppress charging of inhalation aerosols  
 INVENTOR(S): Rosell, Joan; Gonda, Igor; Schuster, Jeffrey; Liu, Kui  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 10 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

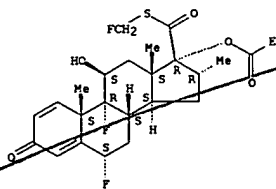
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002106331	A1	20020808	US 2000-733610	20001208
US 2002127186	A1	20020912	US 2001-13309	20011207
PRIORITY APPLN. INFO.:			US 2000-733610	A2 20001208

AB A formulation of particles having a diam. of 0.5-10  $\mu$ m, comprising (a) a pharmaceutically active drug which does not ionize in soln., (b) an electrolyte and (c) a solvent which is preferably water and/or ethanol. The electrolyte reduces electrostatic charging on particles of aerosol formed thereby enhancing characteristics of the aerosol particles which are important for efficient, repeatable intrapulmonary drug delivery. For example, aerosols of pure water produced by using an AERx aerosol system have a high charge assocd. with deposition of the aerosol near the point of aerosol generation, presumably due to the strong self-repulsion of the aerosol cloud. Small ants. of electrolyte suppressed both such effects. An emitted dose of the aerosol produced using an AERx device was depended on the concn. of added electrolyte, e.g. sodium chloride. The emitted dose was low and variable at zero and low concns. of electrolyte, due to pptn. of the aerosol inside the device. As more sodium chloride was added, the emitted dose increases until, at sufficiently high concns. of this electrolyte, the emitted dose reached a plateau value in which electrostatic effects disappear.

IT 80474-14-2, Fluticasone propionate  
 RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (electrolytes for suppression of electrostatic charging of inhalation aerosols)  
 RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



L16 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:521540 CAPLUS  
 DOCUMENT NUMBER: 137:83672  
 TITLE: Fluticasone suspension formulation, spray pattern method, and nasal spray apparatus  
 INVENTOR(S): Dedhiya, Mahendra; Economou, Julia  
 PATENT ASSIGNEE(S): Roxane Laboratories, Inc., USA  
 SOURCE: PCT Int. Appl., 19 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

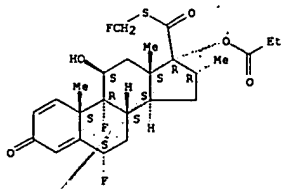
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053186	A2	20020711	WO 2002-US104	20020104
US 2002132803	A1	20020919	US 2001-754777	20010105
PRIORITY APPLN. INFO.:			US 2001-754777	A 20010105

AB An aq. suspension suitable for use in a pump spray device, comprising (a) fluticasone propionate, (b) an antimicrobial preservative, (c) a surfactant, (d) a tonicity agent, and (e) a suspending agent, methods for using the formulation, and suitable nasal pump spray devices are described. For example, an aq. formulation comprises (by wt.): (a) 0.04-0.06% of fluticasone propionate, (b) 0.01-0.40% of phenylethyl alc. and benzalkonium chloride, (c) 0.004-0.030% of polysorbate 80, (d) 3.0-7.0% of dextrose, and (e) 1.0-3.0% of microcryst. cellulose and CM-cellulose sodium.

IT 80474-14-2, Fluticasone propionate  
 RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (fluticasone suspension formulation for nasal sprays)

RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L16 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:504667 CAPLUS  
 DOCUMENT NUMBER: 137:68201  
 TITLE: Metered dose inhaler for salmeterol xinafoate  
 INVENTOR(S): Godfrey, Anne Pauline; Warby, Richard  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 37 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051483	A1	20020704	WO 2001-GB5749	20011221

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, CZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, CA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

GB 2000-31502	A	20001222
GB 2001-28612	A	20011129

AB A container comprises a canister sealed with a metering valve, having a metering chamber, which contains a pharmaceutical aerosol formulation consisting essentially of (A) particulate salmeterol xinafoate in combination with another drug useful in inhalation therapy, suspended in (B) a liquefied propellant gas comprising 1,1,1,2,3,3,3-heptafluoropropane, 1,1,1,2-tetrafluoroethane or a mixt., wherein the formulation is substantially free of surfactant and components having polarity higher than the liquefied propellant gas. The valve is characterized in that it contains 1 or more sealing gaskets substantially constructed from of a polymer of EPDM and the metering chamber surface presents a substantially fluorinated surface to the formulation. The metered dose inhaler MDIs were prepd. in aluminum canisters coated with a PTFE/PES polymer blend sealed with a Bespak valve, wherein all the gaskets were made from EPDM polymer and wherein the metering chamber was composed of PBT and was conventional or surface treated with a plasma coating of a C1-10 perfluoroalkane. The aluminum canisters contained a pharmaceutical aerosol formulation comprising 4.2 mg salmeterol xinafoate and 12 g HFA 134a.

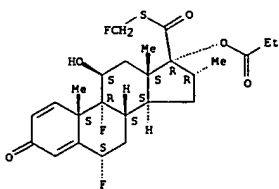
IT 80474-14-2, Fluticasone propionate  
 RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (metered dose inhaler for salmeterol xinafoate)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2003 ACS

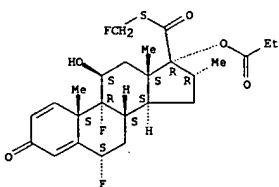
ACCESSION NUMBER: 2002:449494 CAPLUS  
 DOCUMENT NUMBER: 137:37642  
 TITLE: Preparation and formulation of a quinolinone compound for treatment of airway disorders  
 INVENTOR(S): Cuenoud, Bernard; Fairhurst, Robin Alec; Lowther, Nicholas  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.  
 SOURCE: PCT Int. Appl., 25 pp.  
 CODEM: PIXX02  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002045703	A2	20020613	WO 2001-EP14122	20011203
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
AU 2002017082	A5	20020618	AU 2002-17082	20011203
PRIORITY APPLN. INFO.: GB 2000-29562 A 20001204				
WO 2001-EP14122 W 20011203				

OTHER SOURCE(S): MARPAT 137:37642  
 AB An inhalation compn. comprises, sep. or together, (A) a quinolinone compd. (I) in free or pharmaceutically acceptable salt or solvate form and (B) a corticosteroid, useful for simultaneous, sequential or sep. administration in the treatment of an inflammatory or obstructive airway disease. The molar ratio of (A) to (B) is from 100:1 to 1:300. A compn. is an aerosol or a dry powder in a capsule. For example, an aerosol formulation was prepd. by dispensing 10 parts of micronized 1 maleate, 10 parts of mometasone furoate, and 100 parts of lactose (bulking agent) into a vial, sealing the vial with a metering valve, injecting the premix of 2500 parts of ethanol, 30,500 parts of propellant HFA134a, 67,000 parts of propellant HFA227, and 0.5 parts of oleic acid (surfactant) into the vial through the valve, and subjecting the vial to ultrasonic energy to disperse the solid particles.  
 IT 80474-14-2, Fluticasone propionate  
 RL: PAC (Pharmacological activity): THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (prepn. and quinolinone compd. and its formulation with corticosteroid for treatment of airway disorders)  
 RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carboxylic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



L16 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:392219 CAPLUS  
 DOCUMENT NUMBER: 136:406945  
 TITLE: Methods for in vivo drug delivery based on monitoring blood flow parameters  
 INVENTOR(S): Kenney, Kenneth R.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S. Ser. No. 727,950.  
 CODEM: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002061835	A1	20020523	US 2001-828761	20010409
US 6019735	A	20000201	US 1997-919906	19970828
CA 2301161	AA	19990304	CA 1998-2301161	19980826
JP 2001514384	T2	20010911	JP 2000-507994	19980826
US 6322524	B1	20011127	US 1999-439795	19991112
US 6322525	B1	20011127	US 2000-501856	20000210
NO 2000000944	A	20000225	NO 2000-944	20000225
US 6428488	B1	20020806	US 2000-615340	20000712
US 2001039828	A1	20011115	US 2001-789350	20010221
US 2002007664	A1	20020124	US 2001-897164	20010702
US 6484565	B2	20021126		
WO 2002043806	A2	20020606	WO 2001-US44352	20011127
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, US, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002026986	A5	20020611	AU 2002-26986	20011127
US 2002088953	A1	20020711	US 2001-33841	20011227
US 2002184941	A1	20021212	US 2002-156165	20020528
PRIORITY APPLN. INFO.: US 1997-919906 A2 19970828				
US 1999-439795 A2 19991112				
US 2000-501856 A2 20000210				
US 2000-628401 A2 20000801				
US 2000-727950 A2 20001201				
US 1997-966076 A 19971107				
WO 1998-US17657 W 19980826				
KR 2000-16044 A 20000329				
US 2000-615340 A3 20000712				
US 2000-228612P P 20000828				
US 2001-789350 A2 20010221				
US 2001-819924 A 20010328				
US 2001-828761 A 20010409				
US 2001-839785 A 20010420				
US 2001-897164 A3 20010702				
WO 2001-US44352 W 20011127				

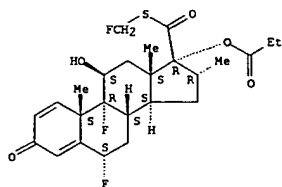
AB Various methods are provided for detg. and utilizing the viscosity of the circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the

L16 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

IT 80474-14-2, Fluticasone propionate  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (methods for in vivo drug delivery based on monitoring blood flow parameters)

RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L16 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:353268 CAPLUS  
 DOCUMENT NUMBER: 136:374832  
 TITLE: Inhalant compositions containing anticholinergics and corticosteroids  
 INVENTOR(S): Pairet, Michel; Pieper, Michael Paul; Meade, Christopher John Montague; Reichl, Richard; Schmelzer, Christel  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany  
 SOURCE: PCT Int. Appl., 28 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

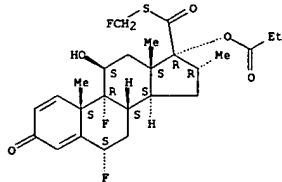
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036106	A2	20020510	WO 2001-EP12511	20011023
WO 2002036106	A3	20020919		
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10062712	A1	20020620	DE 2000-10062712	20001215
US 2002151541	A1	20021017	US 2001-7182	20011019
US 2002183292	A1	20021205	US 2001-86145	20011019
AU 2002010575	A5	20020515	AU 2002-10575	20011023
US 2002137764	A1	20020926	US 2001-40196	20011025
PRIORITY APPLN. INFO.:				
			DE 2000-10054042	A 20001031
			DE 2000-10062712	A 20001215
			US 2000-253613P	P 20001128
			US 2000-257220P	P 20001221
			DE 2001-10138272	A 20010810
			US 2001-314599P	P 20010824
			WO 2001-EP12511	W 20011023

AB The invention relates to novel drug compns. based on anticholinergics and corticosteroids, a method for their prodn. and their use for treating respiratory conditions. Thus an inhalation powder contained per capsule (.mu.g): tiotropium bromide 21.7; budesonide 200; Lactose 4778.3.

IT 80474-14-2, Fluticasone propionate  
 RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (inhalant compns. contg. anticholinergics and corticosteroids)  
 RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



L16 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:293417 CAPLUS  
 DOCUMENT NUMBER: 136:315003  
 TITLE: Particulate bulking agents for medicinal aerosol formulations  
 INVENTOR(S): Jinks, Philip A.; McKenzie, Lesley; Lister, James T.  
 PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA  
 SOURCE: PCT Int. Appl., 27 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

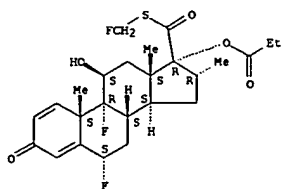
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030394	A2	20020418	WO 2001-US30575	20011001
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002011311	A5	20020422	AU 2002-11311	20011001
PRIORITY APPLN. INFO.:				
			GB 2000-24711	A 20001009
			GB 2001-22512	A 20010918
			WO 2001-US30575	W 20011001

AB Use of particulate bulking agents having an extremely small mass median diam. of less than one micron, preferably less than 300 nm, in pharmaceutical aerosol formulations comprising a suspension of drug particles in a propellant. Examples of bulking agents include ascorbic acid, saccharides, polysaccharides, amino acids, org. and inorg. salts, urea, and propylidone. .alpha.-Lactose monohydrate was micronized and dispersed in anhyd. ethanol and homogenized.

IT 80474-14-2, Fluticasone propionate  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (particulate bulking agents for medicinal aerosol formulations)  
 RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



L16 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:185688 CAPLUS

DOCUMENT NUMBER: 136:252567

TITLE: Methods for drug administration and distribution based on monitoring blood viscosity and other parameters for diagnostics and treatment

INVENTOR(S): Kenney, Kenneth

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S. Ser. No. 819,924.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002032149	A1	20020314	US 2001-841389	20010424
US 6019735	A	20000201	US 1997-919906	19970828
CA 2301161	AA	19990304	CA 1998-2301161	19980826
JP 2001514384	T2	20010911	JP 2000-507994	19980826
US 6322524	B1	20011127	US 1999-439795	19991112
US 6322525	B1	20011127	US 2000-501856	20000210
NO 2000000944	A	20000225	NO 2000-944	20000225
US 6428488	B1	20020806	US 2000-615340	20000712
US 2001039828	A1	20011115	US 2001-789350	20010221
US 2002007664	A1	20020124	US 2001-897164	20010702
US 6484565	B2	20021126		
US 2002088953	A1	20020711	US 2001-33841	20011227
US 2002184941	A1	20021212	US 2002-156165	20020528

PRIORITY APPLN. INFO.:  
 US 1997-919906 A2 19970828  
 US 1999-439795 A2 19991112  
 US 2000-501856 A2 20000210  
 US 2000-628401 A2 20000801  
 US 2000-727950 A2 20001201  
 US 2001-819924 A2 20010328  
 US 1997-966076 A 19971107  
 WO 1998-051765 W 19980826  
 KR 2000-16044 A 20000329  
 US 2000-615340 A3 20000712  
 US 2000-228612P P 20000828  
 US 2001-789350 A2 20010221  
 US 2001-897164 A3 20010702

AB Various methods are provided for detg. and utilizing the viscosity of the circulating blood of a living being, i.e., a human, over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the afore mentioned blood parameters are used to adjust distribution of a substance through the bloodstream. For example, when blood viscosity is a

L16 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

blood flow parameter monitored, an agent is selected from i.v. diluents, red blood cell deformability agents, antiurea agents, oral contraceptives, antidiabetic agents, antiarrhythmics, antihypertensives, antihyperlipidemics, antiplatelet agents, appetite suppressants, antiobesity agents, blood modifiers, smoking deterrent agents, and nutritional supplements.

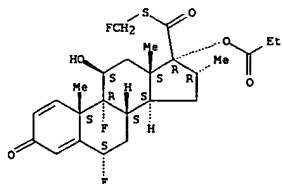
IT 80474-14-2, Fluticasone propionate

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (app. and methods for monitoring blood viscosity and other parameters in drug delivery for diagnostics and treatment)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carboxylic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester,  
 (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L16 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:142557 CAPLUS

DOCUMENT NUMBER: 136:189378

TITLE: Topical formulations containing androstanes and surfactants

INVENTOR(S): Johnson, Keith Arthur

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 25 pp.

CODEN: P1XXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002013868	A1	20020221	WO 2001-US25334	20010813
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GR, GM, GU, HA, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001083344	A5	20020225	AU 2001-83344	20010813

PRIORITY APPLN. INFO.:

US 2000-225328P P 20000814

WO 2001-US25334 W 20010813

OTHER SOURCE(S):

MARPAT 136:189378  
 AB A topical formulation including a solvent, an occlusive agent, a surfactant system, an androstane steroid and water. Thus, a cream contained fluticasone propionate 0.05, propylene glycol 10.0, microcryst. wax 10.0, cetostearyl alc. 2.0, liq. paraffin 32.5, iso-Pr myristate 7.5, Arlacel-165 2.0, sorbitan monostearate 1.0, Dimethicone-360 2.5, imidurea 0.2, dibasic sodium phosphate 0.06, citric acid 0.05, and water to 100%.

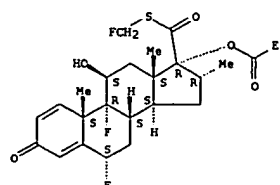
IT 80474-14-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (topical formulations contg. androstanes and surfactants)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carboxylic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester,  
 (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L16 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:122747 CAPLUS  
 DOCUMENT NUMBER: 136:172774  
 TITLE: Topical delivery systems based on crosslinked poly(acrylic acid) for skin treatment  
 INVENTOR(S): Dow, Gordon J.; Lathrop, Robert W.; Dow, Debra A.  
 PATENT ASSIGNEE(S): Dow Pharmaceutical Sciences, USA  
 SOURCE: PCT Int. Appl., 41 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011683	A1	20020214	WO 2001-US23341	20010724
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 6387383	B1	20020514	US 2000-632508	20000803
AU 2001079002	A5	20020218	AU 2001-79002	20010724
US 2002176891	A1	20021128	US 2002-96516	20020308
PRIORITY APPLN. INFO.:			US 2000-632508 A	20000803
			WO 2001-US23341 W	20010724

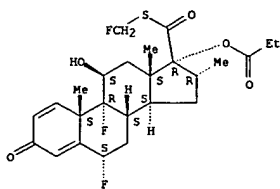
AB A topical compn. is provided for treating a skin disorder in a human subject that has a viscosity of less than about 15,000 cP and a pH of about 3.0 to 9.0. The compn. consists essentially of (a) a therapeutically-effective amt. of at least one compd. useful for treating such disorder, (b) a pharmaceutically-acceptable, lightly cross-linked poly(acrylic acid) polymer compatible with the therapeutic compd., (c) optionally a water miscible solvent, (d) optionally a preservative, (e) optionally an oil phase component and suitable surfactant, and (f) water. The therapeutic compd. is an antibiotic, imidazole, retinoid, corticosteroid or a nonsteroidal anti-inflammatory drug. The compn. is useful for treating an inflammatory skin disorder, acne, or rosacea. The low viscosity compn. has an advantage of being administered more accurately when combined with a container that administers the compn. as drops. For example, a pourable gel compn. was prepd. contg. (by wt.) clindamycin phosphate 1.19%, Me paraben 0.15%, Carbopol 941 (or 981) 0.20%, propylene glycol 15.0%, polyethylene glycol 400 5.0%, NaOH (10% soln.) as needed for pH 5.3-5.7, and water up to 100%.

IT 80474-14-2, Fluticasone propionate  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (topical drug delivery systems based on crosslinked poly(acrylic acid) for treatment of skin disorders)

RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

L16 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:89865 CAPLUS  
 DOCUMENT NUMBER: 136:139862  
 TITLE: Pharmaceutical suspension compositions lacking a polymeric suspending agent  
 INVENTOR(S): Singh, Onkar N.  
 PATENT ASSIGNEE(S): Alcon Universal Ltd., Switz.  
 SOURCE: PCT Int. Appl., 20 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

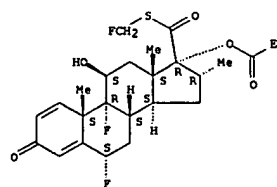
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002007767	A2	20020131	WO 2001-US22253	20010716
W:	AU, BR, CA, CN, JP, MX, PL, US, ZA			
RF:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR			
US 2002037877	A1	20020328	US 2001-906219	20010716
PRIORITY APPLN. INFO.:			US 2000-220753P P	20000726

AB Stable aq. pharmaceutical suspension compns. contg. lecithin as a stabilizing additive and lacking a polymeric suspending agent are disclosed. Thus, a formulation contained dexamethasone 0.1, benzyl alc. 0.9, NaCl 0.9, sodium acetate 0.68, HAc 0.255, Phospholipon-90H 0.15, Polysorbate-20 0.1 and water qs to 100%.

IT 80474-14-2, Fluticasone propionate  
 RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical suspension compns. lacking polymeric suspending agent)

RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



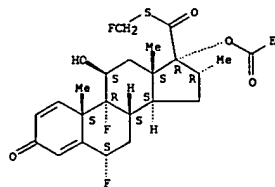
L16 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001885712 CAPLUS  
 DOCUMENT NUMBER: 136:11196  
 TITLE: Fluorinated copolymer surfactants and use thereof in aerosol compositions  
 INVENTOR(S): Desimone, Joseph M.; Carson, Terri Johnson; Miller, John F.; Wells, Sharon  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK; University of North Carolina At Chapel Hill  
 SOURCE: PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001091723	A2	20011206	WO 2001-US17111	20010525
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2000-580008 A 20000526  
 AB A pharmaceutical aerosol compn. comprises (i) a drug, (ii) a fluorine-contg. propellant, and (iii) and an amphiphilic fluorinated block copolymer having at least one lyophobic block and at least one lyophilic block, wherein each of said blocks are formed from a plurality of monomeric units. Amphiphilic fluorinated block copolymers are useful for increasing the dispersibility of drug particles in a fluorine-contg. propellant. The drug is selected from fluticasone propionate, albuterol sulfate, and salmeterol hydroxynaphthoate. For example, the aerosol compn. was prepd. by sonication using 2.91 g of micronized salmeterol hydroxynaphthoate, 13.9 mg of fluorobutyl acrylate-2-hydroxyethyl methacrylate block copolymer (prepn. given), and 18 g of 1,1,1,2-tetrafluoroethane (P134a) propellant.  
 IT 80474-14-2, Fluticasone propionate  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (fluorinated block copolymer surfactants in prepn. of aerosol compns.)  
 RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



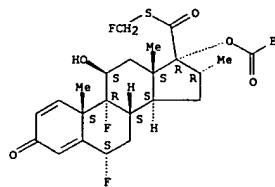
L16 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001833060 CAPLUS  
 DOCUMENT NUMBER: 135:376741  
 TITLE: Stable metal ion-lipid powdered pharmaceutical compositions  
 INVENTOR(S): Dellamary, Luis A.; Riess, Jean; Schutt, Ernest G.; Weers, Jeffrey G.; Tarara, Thomas E.  
 PATENT ASSIGNEE(S): Alliance Pharmaceutical Corp., USA  
 SOURCE: PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085137	A2	20011115	WO 2001-US14824	20010508
WO 2001085137	A3	20020418		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2000-568818 A 20000510  
 AB Microparticle compns. comprising metal ion-lipid complexes for drug delivery are described including methods of making the microparticle compns. and methods of treating certain conditions and disease states by administering the microparticle compns. The metal ion-lipid complexes can be combined with various drugs or active agents for therapeutic administration. The microparticle compns. of the present invention have superior stability to other microparticle compns. resulting in a microparticle compn. with longer shelf life and improved dispersibility. The microparticle compns. of the present invention have a transition temp. (Tm) of at least 20.degree. above the recommended storage temp. (Tst) for drug delivery. An aq. prepn. was prepd. by mixing two prepn.s., A and B, immediately prior to spray drying. The prepn. A was comprised of a fluorocarbon-in-water emulsion in which 26 g perfluorooctyl bromide was dispersed in 33 g water with the aid of 1.30 g of SPC-3 emulsifier (hydrogenated soy phosphatidylcholine). The prepn. B contained 0.162 g CaCl2.2H2O and 0.162 g budesonide dissolved/suspended in 4 g water. The resulting microparticle of the sample had a PL-budesonide-CaCl2.2H2O wt. ratio of about 80:10:10. The mean vol. aerodynamic particle size of the dry powder was approx. 4.1 .mu.m.  
 IT 80474-14-2, Fluticasone propionate  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (stable metal ion-lipid powd. pharmaceutical compns.)  
 RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



L16 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001780692 CAPLUS  
 DOCUMENT NUMBER: 135:327352  
 TITLE: Medicaments for treating respiratory disorders comprising formoterol and fluticasone  
 INVENTOR(S): Sanders, Mark  
 PATENT ASSIGNEE(S): Innovata Biomed Limited, UK  
 SOURCE: PCT Int. Appl., 25 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001078735	A1	20011025	WO 2001-GB1656	20010412
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1274433 A1 20030115 EP 2001-925665 20010412 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

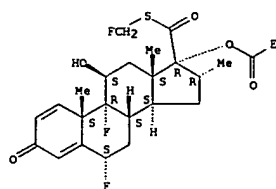
PRIORITY APPLN. INFO.: GB 2000-9046 A 20000413  
 GB 2001-5967 A 20010310  
 WO 2001-GB1656 W 20010412

AB There is described a method of treating or alleviating a respiratory disorder which comprises administering an effective amt. of the active ingredients formoterol, or a pharmaceutically acceptable salt thereof, and fluticasone, or a pharmaceutically acceptable ester thereof, sep., sequentially or simultaneously, provided that the active ingredients comprise sep. compns. There is also described a dry powder inhaler contg. formoterol, or a pharmaceutically acceptable salt thereof, and fluticasone, or a pharmaceutically acceptable ester thereof, which may be administered sep., sequentially or simultaneously, provided that they are administered as sep. compns. Inhibition of Sephadex-induced edema by formoterol and fluticasone in the rats' lungs were studied.

IT 80474-14-2, Fluticasone propionate  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (medicaments for treating respiratory disorders comprising formoterol and fluticasone)  
 RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001747581 CAPLUS  
 DOCUMENT NUMBER: 135:278053  
 TITLE: Pharmaceutical emulsion comprising solid particles  
 INVENTOR(S): Staniforth, John Nicholas; Tobyn, Michael John; Clinch, Cheryl Julia; Price, Robert  
 PATENT ASSIGNEE(S): Vectura Limited, UK  
 SOURCE: PCT Int. Appl., 51 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074332	A1	20011011	WO 2001-GB1562	20010405
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1267833 A1 20030102 EP 2001-917326 20010405 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

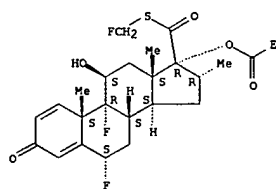
PRIORITY APPLN. INFO.: GB 2000-8411 A 20000405  
 WO 2001-GB1562 W 20010405

AB A method for making a compn. comprising active particles is disclosed comprising an active substance comprises the steps of (a) providing an emulsion having a dispersed phase comprising a soln. of the active substance in a solvent and (b) inducing the formation, in the emulsion, of solid particles comprising the active substance. The particles may be isolated from the emulsion. Active particles having a normalized kurtosis of at least 5 and a mean diam. of less than 100 .mu.m are provided. An emulsion contained soybean oil 36.6, sorbitan monooleate 5.3, polyoxyethylene hydrogenated castor oil 1.1, water 55.3, and paracetamol 1.7%.

IT 80474-14-2, Fluticasone propionate  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical emulsion comprising solid particles)  
 RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



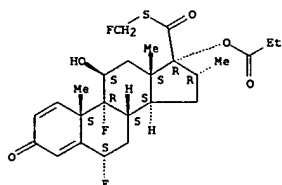
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L16 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:783224 CAPLUS  
 DOCUMENT NUMBER: 133:340255  
 TITLE: Metered dose inhaler for salmeterol  
 INVENTOR(S): Ashurst, Ian C.; Herman, Craig S.; Li, Li; Riebe, Michael T.  
 PATENT ASSIGNEE(S): Glaxo Wellcome Inc., USA; Glaxo Group Ltd.  
 SOURCE: U.S., 9 pp., Cont.-in-part of U.S. Ser. No. 5833,32, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6143277	A	20001107	US 1996-770533	19961219
PRIORITY APPLN. INFO.: US 1996-583332 B2 19960105				
AB Disclosed is a metered dose inhaler having part or all of its internal metallic surfaces coated with one or more fluorocarbon polymers, in combination with one or more non-fluorocarbon polymers, for dispensing an inhalation drug formulation comprising salmeterol, or a salt thereof, and a fluorocarbon propellant, optionally in combination with one or more other pharmacol. active agents and one or more excipients. Std. 12.5 mL MDI cans were spray-coated with primer (DuPont 851-204) and cured to the vendor's std. procedure, then further spray-coated with either perfluorinated ethylene-propylene copolymer or perfluoroalkoxyalkylene and cured according to the vendor's std. procedure. The thickness of the coating was 10-50 nm and these cans were then purged of air, the valves crimped in place, and a suspension of 4 mg salmeterol xinafoate in 12 g P134a was filled through the valve.				
IT 80474-14-2, Fluticasone propionate RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (metered dose inhaler coated with fluorocarbon polymer blends for delivery of salmeterol in combination with other actives)				
RN 80474-14-2 CAPLUS				
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



L16 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:116874 CAPLUS  
 DOCUMENT NUMBER: 132:156861  
 TITLE: Medicinal aerosol formulations  
 INVENTOR(S): Keller, Manfred; Herzog, Kurt; Mueller-Walz, Rudi; Kraus, Holger  
 PATENT ASSIGNEE(S): Jago Research A.-G., Switz.  
 SOURCE: PCI Int. Appl., 36 pp.  
 CODEN: PIXX02  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007567	A1	20000217	WO 1999-CH360	19990802
V: AU, CA, CN, IN, JP, NO, NZ, US, ZA RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2338680	AA	20000217	CA 1999-2338680	19990802
AU 9948939	A1	20000228	AU 1999-48939	19990802
AU 749697	B2	20020704		
EP 1102579	A1	20010530	EP 1999-932599	19990802
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 200252374	T2	20020723	JP 2000-563253	19990802
NO 2001000531	A	20010131	NO 2001-531	20010131
US 6475467	B1	20021105	US 2001-744798	20010420
PRIORITY APPLN. INFO.: CH 1998-1633 A 19980804 WO 1999-CH360 W 19990802				

AB Pharmaceutically acceptable solid salts contg. cromoglycolic acid and/or nedocromil as a vehicle, at concns. which are not therapeutically and prophylactically active, are used in suspension aerosol formulations of pharmaceutical active ingredients in fluorocarbon propellants to improve the dispersion characteristics, increase the phys. and chem. stability of moisture-sensitive active ingredients, allow for accurate dosing of active ingredients even at low dosage, and generally eliminate the need for surface-active agents. Thus, 6 g micronized formoterol fumarate and 12 g micronized di-Na cromoglycate were mixed in an evacuated vessel with fluoroalkane HFA 134a 35, HFA 227 35 kg, and EtOH 3 wt.%, and the suspension was homogenized and dispensed into Al vials equipped with dosing valves.

IT 80474-14-2, Fluticasone propionate  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (medicinal aerosol formulations)

RN 80474-14-2 CAPLUS

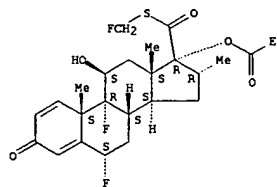
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester,  
 (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:14987 CAPLUS  
 DOCUMENT NUMBER: 132:83652  
 TITLE: Aqueous compositions containing corticosteroids for nasal and pulmonary delivery  
 INVENTOR(S): Saidi, Zahir; Klyashchitsky, Boris  
 PATENT ASSIGNEE(S): LDS Technologies, Inc., USA  
 SOURCE: PCT Int. Appl., 31 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000181	A1	20000106	WO 1999-US14351	19990624
W: AU, CA, IL, JP, MX, NO, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6241969	B1	20010605	US 1998-105838	19980626
CA 2335900	AA	20000106	CA 1999-2335900	19990624
AU 9947171	A1	20000117	AU 1999-47171	19990624
EP 1089715	A1	20010411	EP 1999-930689	19990624
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002519318	T2	20020702	JP 2000-556766	19990624
PRIORITY APPLN. INFO.:			US 1998-105838	A2 19980626
			WO 1999-US14351	W 19990624

AB The present invention provides compns. contg. corticosteroid compds. as active agents for the treatment of ailments and diseases of the respiratory tract, particularly the lungs, by way of nasal and pulmonary administration. The corticosteroid compds. are present in a dissolved state in the compns. The compns. can be formulated in a concd., essentially non-aq. form for storage or in a dild., aq.-based form for ready delivery. The corticosteroid compn. contains an ethoxylated deriv. of vitamin E and/or a polyethylene glycol fatty acid ester as the high-HLB surfactant present in the formulation. The compns. are ideally suited for inhaled delivery with a nebulizer or for nasal delivery. Thus, beclomethasone dipropionate monohydrate (2.8 mg) was dissolved in 997.2 mg of a 2:1 wt./wt. mixt. of PEG-200 and .alpha.-tocopherol polyethylene glycol succinate and the dild. (1:6.65 by vol.) with water. The final soln. contained 420 .mu.g beclomethasone dipropionate/ml of soln.

IT 80474-14-2, Fluticasone 17-propionate  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (aq. compns. contg. corticosteroids for nasal and pulmonary delivery)

RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2003 ACS

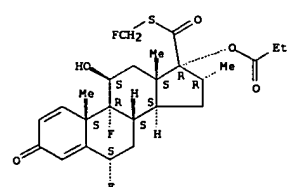
ACCESSION NUMBER: 1999:722376 CAPLUS  
 DOCUMENT NUMBER: 132:298673  
 TITLE: Nebulizer-compatible liquid formulations for pulmonary delivery of glucocorticoids: pre-formulation studies  
 Klyashchitsky, B.; Saidi, Z.; Saad, A.; Sedlak, D.; Szymkowiak, J.; Owen, A.  
 AUTHOR(S): LDS Technologies, Inc., Boothwyn, PA, 19061, USA  
 CORPORATE SOURCE: Proceedings of the International Symposium on Controlled Release of Bioactive Materials (1999), 26th, 565-566  
 SOURCE: CODEN: PCRMEY; ISSN: 1022-0178  
 PUBLISHER: Controlled Release Society, Inc.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The detn. of soly. in various solvents, oils, and surfactants and stability evaluation was valuable in the pre-formulation of glucocorticoid liq. compn. development.

IT 80474-14-2, Fluticasone propionate  
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (nebulizer-compatible liq. formulations for pulmonary delivery of glucocorticoids)

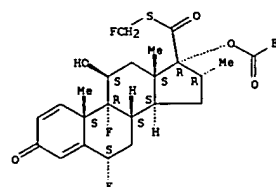
RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:690935 CAPLUS  
 DOCUMENT NUMBER: 131:303393  
 TITLE: Pharmaceutical aerosol formulation comprising coated therapeutic agents, propellants, and surfactants  
 INVENTOR(S): Cavallion, Pascal; Llorca, Nathalie; Louis, Olivier; Rosier, Patrick  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 45 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9953901	A1	19991028	WO 1999-EP2535	19990415
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2328882	AA	19991028	CA 1999-2328882	19990415
AU 9935231	A1	19991108	AU 1999-35231	19990415
BR 9909736	A	20001219	BR 1999-9736	19990415
EP 1073417	A1	20010207	EP 1999-916921	19990415
EP 1073417	B1	20021204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002512183	T2	20020423	JP 2000-544308	19990415
AT 228823	E	20021215	AT 1999-916921	19990415
NO 200005218	A	20001110	NO 2000-5218	20001017
PRIORITY APPLN. INFO.:			GB 1998-8152	A 19980418
			GB 1998-14709	A 19980708
			WO 1999-EP2535	W 19990415

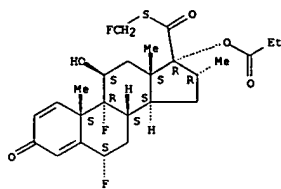
AB The present invention relates to novel pharmaceutical aerosol formulations comprising: (A) a therapeutic agent in the form of particles coated by at least one coating excipient and at least one surfactant, in suspension in (B) a liquefied propellant gas for the administration of therapeutic agents particularly by the pulmonary route and to a process for prepg. these formulations. It also relates to novel particles suitable for use in such formulations. A suspension of beclomethasone dipropionate monohydrate 5, lecithin 0.5, and lactose 0.5% was spray-dried at 160.degree.. The spray-dried material was micronized. At least 90% of the particle surface was covered by coating layer after micronization. The particles were filed in cartridges and the finished product was stable for several month at room temp.

IT 80474-14-2, Fluticasone propionate  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical aerosol formulation comprising coated therapeutic agents, propellants, and surfactants)

RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

L16 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



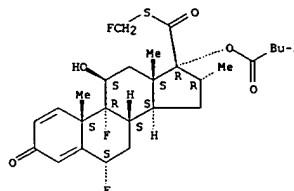
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:686694 CAPLUS  
 DOCUMENT NUMBER: 131:314194  
 TITLE: Formulation containing a carrier, active ingredient, and surfactant for treating skin disorders  
 INVENTOR(S): Seidel, William E.  
 PATENT ASSIGNEE(S): Dermalogix Partners, Inc., USA  
 SOURCE: U.S., 6 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5972920	A	19991026	US 1998-22995	19980212
PRIORITY APPLN. INFO.: US 1998-22995 19980212				
AB One or more formulations for treating psoriasis and other skin disorders characterized by redness, itching, flaking, scaling, and plaque-type growth. The formulation includes a carrier component, one or more active ingredient components, and a surfactant component. The carrier preferably includes an alc. in substantially equal vol. with iso-Pr myristate. The active ingredient component preferably includes a superpotent or high-potency corticosteroid such as clobetasol propionate, an anti-flaking ingredient such as zinc pyrithione, or a combination of the two. It may also include an antifungal compd. The surfactant component preferably includes an alkyl sulfate such as sodium lauryl sulfate. The formulations made by applied topically either in spray form or as a direct-contact liq. A compn. was prepd. contg. iso-Pr myristate/isopropanol (50/50 by vol.) 99.65 and Zn pyrithione 0.25%.				
IT 247187-62-8, Fluticasone valerate RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (formulation contg. a carrier, active ingredient, and surfactant for treating skin disorders)				
RN 247187-62-8 CAPLUS				
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-[(1-oxopentyl)oxy]-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



L16 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

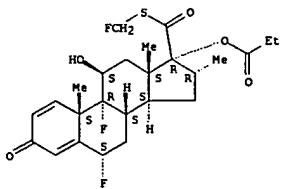
L16 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:421551 CAPLUS  
 DOCUMENT NUMBER: 131:78430  
 TITLE: Pharmaceutical compositions comprising micelles comprising lipophilic glucocorticosteroid and only one surfactant  
 INVENTOR(S): Sjoquist, Gabrielle  
 PATENT ASSIGNEE(S): Astra Aktiebolag, Sved.  
 SOURCE: PCT Int. Appl., 20 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932089	A1	19990701	WO 1998-SE2426	19981222
V: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9811461	A	19990622	ZA 1998-11461	19981214
CA 2315782	AA	19990701	CA 1998-2315782	19981222
AU 9919935	A1	19990712	AU 1999-19935	19981222
BR 9813811	A	20001003	BR 1998-13811	19981222
EP 1043973	A1	20001018	EP 1998-964662	19981222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001526210	T2	20011218	JP 2000-525082	19981222
NO 2000003220	A	20000822	NO 2000-3220	20000621
PRIORITY APPLN. INFO.: SE 1997-4833 A 19971222				
WO 1998-SE2426 W 19981222				
AB The present invention relates to a pharmaceutical compn. comprising micelles in an aq. medium, wherein the micelles comprise a lipophilic glucocorticosteroid and one and only one pharmaceutically acceptable surfactant. The invention further relates to a process for the prepn. of the pharmaceutical compn. and use of the pharmaceutical compn. for the manuf. of a medicament for treating allergic and/or inflammatory diseases in the respiratory tract or for treating intestinal diseases and methods for treatment of the diseases in a mammal, including man. Solutol HS15 (PEG 660 12-hydroxystearate) 5 g is melted and 100 mg rofleponide palmitate is added to the melt and dissolved. An isotonic soln. of 25 g glucose in 495g water is heated to 35-40.degree. and added to the melt to give a clear soln.				
IT 80474-14-2, Fluticasone propionate RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. contg. micelles comprising lipophilic glucocorticosteroid and only one surfactant)				
RN 80474-14-2 CAPLUS				
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-[(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

L16 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:623619 CAPLUS  
 DOCUMENT NUMBER: 130-71439  
 TITLE: Metered-dose inhaler formulation of fluticasone 17-propionate micronized with supercritical carbon dioxide using the alternative propellant HFA-227  
 AUTHOR(S): Steckel, Hartwig; Muller, Bernd W.  
 CORPORATE SOURCE: Department of Pharmaceuticals and Biopharmaceutics, Christian-Albrecht-University, Kiel, 24118, Germany  
 SOURCE: International Journal of Pharmaceutics (1998), 173(1,2), 25-33  
 CODEN: IJPHDE; ISSN: 0378-5173  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The commonly used propellants from the chlorofluorocarbon (CFC) type are known to deplete the ozone layer so that replacement by alternative propellants is required. The aim of this study was to show the feasibility to reformulate fluticasone-17-propionate, a very promising anti-inflammatory drug, using the propellant heptafluoropropane (HFA-227). The glucocorticoid was micronized by a new technique using supercrit. carbon dioxide (aerosol solvent extn. system, ASES) resulting in very fine particles. Metered-dose inhaler formulations were performed using a pressure filling technique. ASES products showed a very narrow particle size distribution with slightly different crystal properties. These products were compared to metered-dose inhaler formulations with jet milled drug, the marketed Flutide(TM) MDI and the Flutide(TM) Diskus(TM) powder inhaler. The fine particle fractions, detd. with a twin stage impinger, of CFC-free formulations with one ASES product were equiv. to the CFC-formulation Flutide(TM) both having a fine particle fraction of roughly 60%. A variation of actuator orifice diam. even increased the fraction of drug particles <6.4 .mu.m. The study proved the feasibility of reformulating fluticasone propionate with the alternative propellant HFA-227. The processing of steroids using supercrit. carbon dioxide proved to be a useful technique for the micronization and surface coating with a surfactant in one process step.

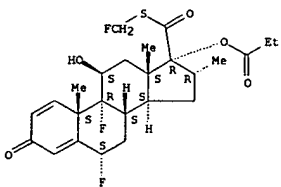
IT 80474-14-2, Fluticasone 17-propionate  
 RI: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (metered-dose inhaler formulation of fluticasone 17-propionate micronized with supercrit. carbon dioxide using the alternative propellant HFA-227)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:513756 CAPLUS  
 DOCUMENT NUMBER: 125:151185  
 TITLE: Pharmaceutical aerosols containing sugars and fluorocarbons or fluorochlorohydrocarbons  
 INVENTOR(S): Green, Alexander Peter  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 25 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619968	A1	19960704	WO 1995-EP5085	19951222
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9643469	A1	19960719	AU 1996-43469	19951222
EP 799024	A1	19971008	EP 1995-942192	19951222
EP 799024	B1	20000809		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
JP 10511376	T2	19981104	JP 1995-520196	19951222
AT 195249	E	20000815	AT 1995-942192	19951222
ES 2150022	T3	20001116	ES 1995-942192	19951222
US 5955439	A	19990921	US 1997-849538	19970624
PRIORITY APPLN. INFO.:			GB 1994-26252	A 19941224
			WO 1995-EP5085	W 19951222

AB Aerosol formulations for the administration of medicaments by inhalation comprises (a) particulate medicament; (b) at least one sugar; and (c) a fluorocarbon or hydrogen-contg. chlorofluorocarbon propellant. Particulate lactose was dispensed into clean, dry glass bottles and the metering valve was fitted onto the bottles, then micronized fluticasone propionate mixed with 1,1,1,2-tetrafluoroethane was pressure-filled into the canisters through the metering valve. The resultant inhalers delivered 25 .mu.g of fluticasone propionate/actuation.

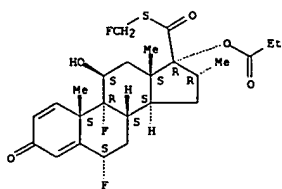
IT 80474-14-2, Fluticasone propionate  
 RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical aerosols contg. sugars and fluorocarbons or fluorochlorohydrocarbons)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L16 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)



L16 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:404714 CAPLUS  
 DOCUMENT NUMBER: 125:67735  
 TITLE: A pharmaceutical aerosol formulation  
 INVENTOR(S): Li-Bovet, Li Johnson, Keith Arthur  
 PATENT ASSIGNEE(S): Glaxo Wellcome Inc., USA  
 SOURCE: PCT Int. Appl., 33 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9609816	A1	19960404	WO 1995-18866	19950927
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TH				
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CA 2200986	AA	19960404	CA 1995-2200986	19950927
AU 9535321	A1	19960419	AU 1995-35321	19950927
AU 707922	B2	19990722		
EP 783302	A1	19970716	EP 1995-932166	19950927
EP 783302	B1	19991201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1168630	A	19971224	CN 1995-196444	19950927
CN 1084614	B	20020515		
HU 77377	A2	19980428	HU 1997-2260	19950927
JP 10506887	T2	19980707	JP 1995-511571	19950927
BR 9509108	A	19980714	BR 1995-9108	19950927
AT 187063	E	19991215	AT 1995-932166	19950927
ES 2140706	T3	20000301	ES 1995-932166	19950927
CZ 286356	B6	20000315	CZ 1997-936	19950927
RU 2157188	C2	20001010	RU 1997-106511	19950927
SK 281519	B6	20010409	SK 1997-393	19950927
PL 181453	B1	20010731	PL 1995-319342	19950927
NO 9701422	A	19970523	NO 1997-1422	19970325
FI 9701279	A	19970326	FI 1997-1279	19970326
US 5849265	A	19981215	US 1997-809764	19970327
PRIORITY APPLN. INFO.:			GB 1994-18536	A 19940928
			WO 1995-18866	W 19950927

AB A pharmaceutical aerosol formulation which comprises a particulate medication (e.g., cromoglycate, salbutamol, salmeterol, terbutaline, etc.), a fluorocarbon or hydrogen-contg. chlorofluorocarbon propellant, and a surfactant of general formula (I), wherein n is an integer of 1 to 18; m is an integer of 0 to 17; and R1, R2 and R3 are each independently a hydrogen atom or a C1-4alkyl group.

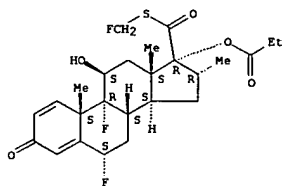
IT 80474-14-2, Fluticasone propionate  
 RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (pharmaceutical aerosol formulation)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-

L16 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester,  
 (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L16 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:52841 CAPLUS  
 DOCUMENT NUMBER: 124:97782  
 TITLE: Fluticasone propionate formulations for inhalation  
 INVENTOR(S): Tainsh, David Alexander; Ilott, Trevor Leslie; Snell, Dorothy Jill; Lam, Li Fong  
 PATENT ASSIGNEE(S): Glaxo Australia Pty. Ltd., Australia  
 SOURCE: PCT Int. Appl., 12 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9531964	A1	19951130	WO 1995-EP1913	19950519
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TH, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2190763	AA	19951130	CA 1995-2190763	19950519
AU 9526145	A1	19951218	AU 1995-26145	19950519
AU 710821	B2	19990930		
ZA 9504101	A	19960129	ZA 1995-4101	19950519
EP 760649	A1	19970312	EP 1995-920841	19950519
EP 760649	B1	20011031		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1148804	A	19970430	CN 1995-193201	19950519
BR 9507746	A	19970819	BR 1995-7746	19950519
HU 76552	A2	19970929	HU 1996-3227	19950519
JP 10500420	T2	19980113	JP 1995-530051	19950519
CZ 285966	B6	19991215	CZ 1996-3423	19950519
IL 113794	A1	20001031	IL 1995-113794	19950519
RU 2161485	C2	20010110	RU 1996-124389	19950519
PL 180318	B1	20010131	PL 1995-317225	19950519
AT 207734	E	20011115	AT 1995-920841	19950519
ES 2164767	T3	20020301	ES 1995-920841	19950519
TW 438604	B	20010607	TW 1995-84106242	19950617
FI 9604634	A	19961120	FI 1996-4634	19961120
US 5993781	A	19991130	US 1997-737592	19970207
PRIORITY APPLN. INFO.:			GB 1994-10222	A 19940521
			WO 1995-EP1913	W 19950519

AB A formulation suitable for nebulization comprises fluticasone propionate substantially all having a particle size of <12 .mu.m, one or more surfactants, one or more buffer agents, and water. Inhalation of the compn. is effective method for treating respiratory disorders. A compn. contained micronized fluticasone propionate 0.525, polyoxyethylene sorbitan monolaurate 0.14, sorbitan monolaurate 0.018, NaH2PO4 18.80, Na2HPO4 3.50, NaCl 9.60 mg, and water to 2 mL.

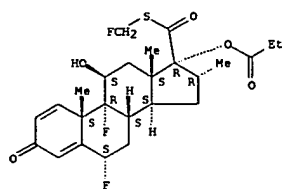
IT 80474-14-2, Fluticasone propionate  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (fluticasone propionate inhalants for treatment of respiratory disorders)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

L16 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



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YOU HAVE REQUESTED DATA FROM 5 ANSWERS - CONTINUE? Y/(N):y

L17 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:555334 CAPLUS  
 DOCUMENT NUMBER: 137:114525  
 TITLE: Syntactic deformable pharmaceutical foam compositions  
 INVENTOR(S): Odidi, Isaac Odidi, Amina  
 PATENT ASSIGNEE(S): Can.  
 SOURCE: PCT Int. Appl., 47 pp.  
 CODEN: P1XXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002056861	A2	20020725	WO 2002-CA54	20020117
WO 2002056861	A3	20021017		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-765783 A 20010119

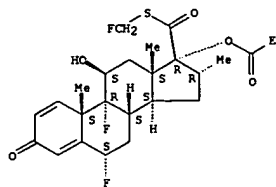
AB The invention relates to methods for prep. a syntactic foam compn. suitable for use as a carrier for chems. or other compds., including pharmaceuticals. Carbopol 971P, hydroxyethyl cellulose, cellulose microspheres and silica, was mixed in a high-shear mixer. The resulting admixt. was treated with 2-propanol, while simultaneously subjecting the admixt. to high-shear forces in the high-shear mixer. This mixing created a uniform stable syntactic deformable and compressible dendritic solid foam which could be shaped before drying. Metoprolol succinate was added to the above admixt. and subjected to high-shear agitation for 2 min before treatment with 2-propanol. A stable syntactic deformable and compressible dendritic solid foam which could be shaped before drying was obtained. This was dried at 40 degree. The dried foam was the disentangled by size redn. to obtain discrete particles. The free flowing particles were reassembled and shaped by compression in a mold. The shaped units, when subjected to an aq. medium, released metoprolol over a period of .ltoreq.3 h.

IT 80474-14-2, Fluticasone Propionate  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (syntactic deformable pharmaceutical foam compns.)

RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L17 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



L17 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:293417 CAPLUS  
 DOCUMENT NUMBER: 136:315003  
 TITLE: Particulate bulking agents for medicinal aerosol formulations  
 INVENTOR(S): Jinks, Philip A.; McKenzie, Lesley; Lister, James T.  
 PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA  
 SOURCE: PCT Int. Appl., 27 pp.  
 CODEN: P1XXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030394	A2	20020418	WO 2001-US30575	20011001

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002011311 A5 20020422 AU 2002-11311 20011001

PRIORITY APPLN. INFO.: GB 2000-24711 A 20001009  
 GB 2001-22512 A 20010918  
 WO 2001-US30575 W 20011001

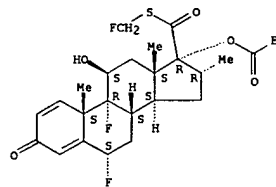
AB Use of particulate bulking agents having an extremely small mass median diam. of less than one microp, preferably less than 300 nm, in pharmaceutical aerosol formulations comprising a suspension of drug particles in a propellant. Examples of bulking agents include ascorbic acid, saccharides, polysaccharides, amino acids, org. and inorg. salts, urea, and propylidone. alpha-Lactose monohydrate was micronized and dispersed in anhyd. ethanol and homogenized.

IT 80474-14-2, Fluticasone propionate  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (particulate bulking agents for medicinal aerosol formulations)

RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L17 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)





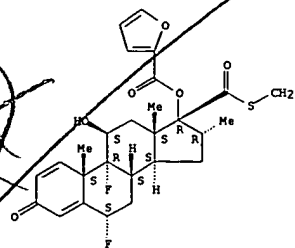
L17 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:123029 CAPLUS  
 DOCUMENT NUMBER: 136:172802  
 TITLE: A novel androstane as an anti-inflammatory agent  
 INVENTOR(S): Biggadike, Keith; Coote, Steven John; Nice, Rosalyn Kay  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 73 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012265	A1	20020214	WO 2001-GB3495	20010803
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001075760	A5	20020218	AU 2001-75760	20010803
US 2002165211	A1	20021107	US 2002-67020	20020204
US 2002173496	A1	20021121	US 2002-66964	20020204
US 2002177581	A1	20021128	US 2002-66936	20020204
PRIORITY APPL. INFO.: GB 2000-19172 A/ 20000805				
GB 2001-8800 A/ 20010607				
WO 2001-GB3495 W/ 20010803				
US 2001-958050 A2 20011002				

OTHER SOURCE(S): MARPAT 136:172802  
 AB A novel androstane compd., i.e., 6.alpha.,9.alpha.-difluoro-17.alpha.-[(2-furanylcarbonyl)oxy]-11.beta.-hydroxy-16.alpha.-methyl-3-oxo-androsta-1,4-diene-17.beta.-carboxylic acid 5-(fluoromethyl) ester (I) and its solvates are prep. and formulated as anti-inflammatory and antiallergic agents for use in veterinary or human medicine. For example, a formulation for intranasal delivery was prep. contg. unsolvated micronized I 10 mg, Polysorbate 20 0.8 mg, sorbitan monolaurate 0.09 mg, sodium dihydrogen phosphate dihydrate 94 mg, dibasic sodium phosphate 17.5 mg, sodium chloride 48 mg, and water to 10 mL. The formulation was fitted into a spray pump capable of delivering a plurality of metered doses (Valois).  
 IT 397864-44-7P  
 RL: PAC (Pharmacological activity); PK (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THP (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. and formulation of androstane deriv. and its solvates as anti-inflammatory or antiallergic agent for human and veterinary uses)  
 RN 397864-44-7 CAPLUS  
 CN Androsta-1,4-diene-17-carboxylic acid, 6,9-difluoro-17-[(2-furanylcarbonyl)oxy]-11-hydroxy-16-methyl-3-oxo-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L17 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

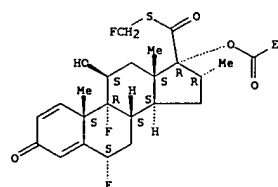
L17 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001:833060 CAPLUS  
 DOCUMENT NUMBER: 135:376741  
 TITLE: Stable metal ion-lipid powdered pharmaceutical compositions  
 INVENTOR(S): Dellamary, Luis A.; Riess, Jean; Schutt, Ernest G.; Weers, Jeffrey G.; Tarara, Thomas E.  
 PATENT ASSIGNEE(S): Alliance Pharmaceutical Corp., USA  
 SOURCE: PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085137	A2	20011115	WO 2001-US14824	20010508
WO 2001085137	A3	20020418		
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPL. INFO.: US 2000-568818 A 20000510  
 AB Microparticle compns. comprising metal ion-lipid complexes for drug delivery are described including methods of making the microparticle compns. and methods of treating certain conditions and disease states by administering the microparticle compns. The metal ion-lipid complexes can be combined with various drugs or active agents for therapeutic administration. The microparticle compns. of the present invention have superior stability to other microparticle compns. resulting in a microparticle compn. with longer shelf life and improved dispersibility. The microparticle compns. of the present invention have a transition temp. (Tm) of at least 20.degree. above the recommended storage temp. (Tst) for drug delivery. An aq. prep. was prep. by mixing two preps., A and B, immediately prior to spray drying. The prep. A was comprised of a fluorocarbon-in-water emulsion in which 26 g perfluorooctyl bromide was dispersed in 33 g water with the aid of 1.30 g of SPC-3 emulsifier (hydrogenated soy phosphatidylcholine). The prep. B contained 0.162 g CaCl2.2H2O and 0.162 g budesonide dissolved/suspended in 4 g water. The resulting microparticle of the sample had a PL-budesonide-CaCl2.2H2O wt. ratio of about 80:10:10. The mean vol. aerodynamic particle size of the dry powder was approx. 4.1 .mu.m.  
 IT 80474-14-2, Fluticasone propionate  
 RL: THP (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (stable metal ion-lipid powd. pharmaceutical compns.)  
 RN 80474-14-2 CAPLUS  
 CN Androsta-1,4-diene-17-carboxylic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L17 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



L17 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:351357 CAPLUS  
 DOCUMENT NUMBER: 133:9107  
 TITLE: Dry powder for inhalation  
 INVENTOR(S): Keller, Manfred; Mueller-Walz, Rudi  
 PATENT ASSIGNEE(S): Skyepharma A.-G., Switz.  
 SOURCE: PCT Int. Appl., 44 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000028979	A1	20000525	WO 1999-CH528	19991110
W: AU, CA, CN, CZ, HU, IN, JP, NO, NZ, PL, RO, RU, SK, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9964578	A1	20000605	AU 1999-64578	19991110
EP 1131059	A1	20010912	EP 1999-952212	19991110
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO				
JP 2002529498	T2	20020910	JP 2000-582027	19991110
NO 2001002346	A	20010626	NO 2001-2346	20010511
PRIORITY APPLN. INFO.: CH 1998-2286 A 19981113				
WO 1999-CH528 W 19991110				

AB The moisture resistance of dry powder formulations for inhalation, which contain a pharmaceutically inert carrier of noninhalable particle size and a finely divided pharmaceutical substance of inhalable particle size, is improved and the storage stability of the formulations is increased by adding Mg stearate to minimize the deleterious effect of moisture on fine particle dose and fine particle fraction even under relatively extreme temp. and humidity conditions. Thus, 198.46 g lactose-H<sub>2</sub>O (particle size 100% <200 .mu.m, 50% <125 .mu.m, 10% <75 .mu.m) was mixed with 1 g sieved Mg stearate, then with 0.54 g formoterol fumarate-2H<sub>2</sub>O, and loaded into a multidose dry powder inhaler.

IT 80474-14-2, Fluticasone propionate  
 RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

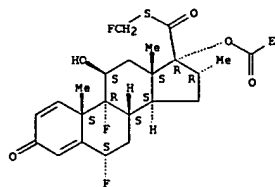
(dry powder for inhalation)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L17 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib ab hitstr 1-3

L20 ANSWER 1 OF 3 USPATFULL  
 ACCESSION NUMBER: 2002:338241 USPATFULL  
 TITLE: Nicotinamide biaryl derivatives useful as inhibitors of PDE4 isozymes  
 INVENTOR(S): Chambers, Robert J., Mystic, CT, UNITED STATES  
 Marfat, Anthony, Mystic, CT, UNITED STATES  
 Magee, Thomas V., Mystic, CT, UNITED STATES  
 PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

NUMBER	KIND	DATE
US 2002:193612	A1	20021219
US 2002-62813	A1	20020131 (10)

NUMBER	DATE
US 2001-265492P	20010131 (60)

PRIORITY INFORMATION:  
 DOCUMENT TYPE: Utility  
 FILE SEGMENT: APPLICATION  
 LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612  
 NUMBER OF CLAIMS: 18  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 7001  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

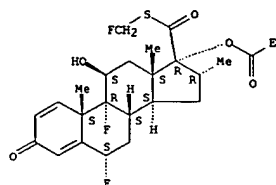
AB Compounds useful as inhibitors of PDE4 in the treatment of diseases regulated by the activation and degranulation of eosinophils, especially asthma, chronic bronchitis, and chronic obstructive pulmonary disease, of the formula: ##STR1##

where j is 0 or 1 provided that when j is 0, n must be 2; k is 0 or 1; m is 0, 1, or 2; n is 1 or 2; W.sup.1 is --O-- or --S(.dbd.O).sub.t-- where t is 0, 1, or 2; or --N(R.sup.3)--; W.sup.2 is --O--CR.sup.AR.sup.B-- or is absent; Y is .dbd.C(R.sup.1.sub.a)-- or --[N(O).sub.k]-- where k is 0 or 1; R.sup.A and R.sup.B are --H; --F; --CF.sub.3; --(C.sub.1-C.sub.4) alkyl; --(C.sub.3-C.sub.7) cycloalkyl; phenyl; or benzyl substituted with 0 to 3 substituents R.sup.10; or R.sup.A and R.sup.B are taken together, but only in the case where m is 1, to form a spiro moiety; R.sup.C and R.sup.D have the same meaning as R.sup.A and R.sup.B except that one of them must be --H, R.sup.1 and R.sup.2 are --H; --F; --Cl; --CN; --NO.sub.2; --(C.sub.1-C.sub.4) alkyl; --(C.sub.2-C.sub.4) alkenyl; fluorinated --(C.sub.1-C.sub.3) alkyl; --OR.sup.16; and --C(.dbd.O)NR.sup.22.sub.aR.sup.22.sub.b; R.sup.3 is --H; --(C.sub.1-C.sub.3) alkyl; phenyl; benzyl; or --OR.sup.16; R.sup.4, R.sup.5 and R.sup.6 in addition to other meanings may be taken together to form, e.g., ##STR2##

Q.sup.1 is a saturated or unsaturated carbon ring system that is a 3- to 7-membered monocyclic, or that is a 7- to 12-membered, fused polycyclic; provided that Q.sup.1 is not a discontinuous or restricted biaryl moiety as defined under Q.sup.2; where optionally one carbon atom may be replaced by a heteroatom selected from N, O, and S; where optionally a second carbon atom thereof, and further optionally a third carbon atom thereof may be replaced by N; Q.sup.2 is a discontinuous or restricted biaryl moiety consisting of a saturated or unsaturated carbon ring system that is a 3- to 7-membered monocyclic, or that is a 7- to 12-membered, fused polycyclic; where optionally one carbon atom may be

L20 ANSWER 1 OF 3 USPATFULL (Continued)  
 replaced by a heteroatom selected from N, O, and S; where optionally a second carbon atom thereof, and further optionally a third carbon atom thereof may be replaced by N; Z is selected from: ##STR3##  
 IT 80474-14-2, Fluticasone propionate  
 (in combination with; prepn. of biaryl nicotinamides as inhibitors of PDE4 isoenzymes)  
 RN 80474-14-2 USPATFULL  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L20 ANSWER 2 OF 3 USPATFULL  
 ACCESSION NUMBER: 2002:323127 USPATFULL  
 TITLE: Formoterol/steroid bronchodilating compositions and methods of use thereof  
 INVENTOR(S): Banerjee, Partha S., Davis, CA, UNITED STATES  
 Chaudry, Intiaz A., Napa, CA, UNITED STATES

NUMBER	KIND	DATE
US 2002:183293	A1	20021205
US 2002-145978	A1	20020513 (10)
RELATED APPL. INFO.:	Division of Ser. No. US 2001-887496, filed on 22 Jun 2001, PENDING	

NUMBER	DATE
US 2001-284607P	20010417 (60)

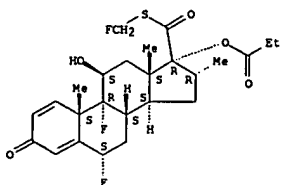
PRIORITY INFORMATION:  
 DOCUMENT TYPE: Utility  
 FILE SEGMENT: APPLICATION  
 LEGAL REPRESENTATIVE: Stephanie Seidman, Heller Ehrman White & McLaughlin LLP, 7th Floor, 4350 La Jolla Village Drive, San Diego, CA, 92122  
 NUMBER OF CLAIMS: 93  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 1551  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Bronchodilating compositions and methods are provided. The compositions are intended for administration as a nebulized aerosol. In certain embodiments, the compositions contain formoterol, or a derivative thereof, and a steroid anti-inflammatory agent. Methods for treatment, prevention, or amelioration of one or more symptoms of bronchoconstrictive disorders using the compositions provided herein are also provided.

IT 80474-14-2, Fluticasone propionate  
 (nebulized aerosol compns. contg. formoterol/steroid as bronchodilators)

RN 80474-14-2 USPATFULL  
 CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L20 ANSWER 3 OF 3 USPATFULL  
 ACCESSION NUMBER: 2002:228358 USPATFULL  
 TITLE: Thiazolyl-, oxazolyl-, pyrrolyl-, and imidazolyl-acid amide derivatives useful as inhibitors of PDE4 isozymes  
 INVENTOR(S): Marfat, Anthony, Mystic, CT, UNITED STATES  
 McKechney, Michael William, Fairport, NY, UNITED STATES  
 PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

NUMBER	KIND	DATE
US 2002:123520	A1	20020905
APPLICATION INFO.:	A1	20020131 (10)

NUMBER	DATE
US 2001-265486P	20010131 (60)

PRIORITY INFORMATION:  
 DOCUMENT TYPE: Utility  
 FILE SEGMENT: APPLICATION  
 LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612  
 NUMBER OF CLAIMS: 10  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 6963  
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds useful as inhibitors of PDE4 in the treatment of diseases regulated by the activation and degranulation of eosinophils, especially asthma, chronic bronchitis, and chronic obstructive pulmonary disease, of the formula: ##STR1##

where j is 0 or 1 provided that when j is 0, n must be 2; k is 0 or 1; m is 0, 1, 2, or 3; n is 1 or 2; W.sup.1 is --O-- or --S(.dbd.O).sub.t-- where t is 0, 1, or 2; or --N(R.sup.3)--; W.sup.2 is --CR.sup.AR.sup.B or is absent; Y is .dbd.C(R.sup.1.sub.a)-- or --[N(O).sub.k]-- where k is 0 or 1; R.sup.A and R.sup.B are --H; --F; --CF.sub.3; --(C.sub.1-C.sub.4) alkyl; --(C.sub.3-C.sub.7) cycloalkyl; phenyl; or benzyl substituted with 0 to 3 substituents R.sup.10; or R.sup.A and R.sup.B are taken together, but only in the case where m is 1, to form a spiro moiety; R.sup.C and R.sup.D have the same meaning as R.sup.A and R.sup.B except that one of them must be --H, R.sup.1 and R.sup.2 are --H; --F; --Cl; --CN; --NO.sub.2; --(C.sub.1-C.sub.4) alkyl; --(C.sub.2-C.sub.4) alkenyl; fluorinated --(C.sub.1-C.sub.3) alkyl; --OR.sup.16; and --C(.dbd.O)NR.sup.22.sub.aR.sup.22.sub.b; R.sup.3 is --H; --(C.sub.1-C.sub.3) alkyl; phenyl; benzyl; or --OR.sup.16; R.sup.4, R.sup.5 and R.sup.6 in addition to other meanings may be taken together to form, e.g., ##STR2##

G.sup.1 is a saturated or unsaturated carbon ring system that is a 3- to 7-membered monocyclic, or that is a 7- to 12-membered, fused polycyclic; provided that G.sup.1 is not a discontinuous or restricted biaryl moiety as defined under G.sup.2; where optionally one carbon atom may be replaced by a heteroatom selected from N, O, and S; where optionally a second carbon atom thereof, and further optionally a third carbon atom thereof may be replaced by N; --G.sup.2 is a saturated or unsaturated carbon ring system that is a 3- to 7-membered monocyclic; or that is a 7- to 12-membered, fused polycyclic; or that is a 7- to 18-membered discontinuous or restricted biaryl moiety; wherein for each of the carbon ring systems recited, optionally one carbon atom of said carbon ring system may be replaced by a heteroatom selected from N, O, and S; where optionally a second carbon atom thereof, and further optionally a third carbon atom thereof may be replaced by N; and E is selected from: ##STR3##

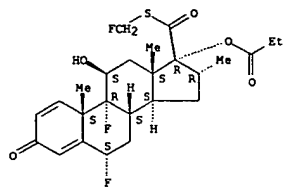
L20 ANSWER 3 OF 3 USPATFULL (Continued)

IT 80474-14-2, Fluticasone propionate  
(combination therapy with PDE4 inhibitors; prepn. of thiazolyl-,  
oxazolyl-, pyrrolyl-, and imidazolyl- acid amide derivs. as inhibitors  
of PDE4 isoenzymes)

RN 80474-14-2 USPATFULL

CN Androst-1,4-diene-17-carboxylic acid, 6,9-difluoro-11-hydroxy-16-methyl-  
3-oxo-17-(1-oxopropoxy)-, 5-(fluoromethyl) ester,  
(6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



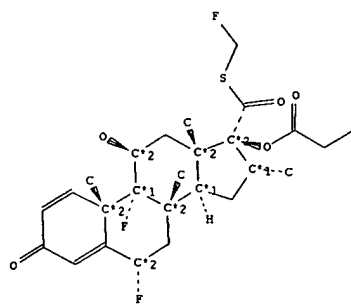
10/066,951

Page 23

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## L21 ANSWER 1 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL

Beilstein Records (BRN): 8957127  
Chemical Name (CN): (6.alpha.,11.beta.,16.alpha.,17.beta.)-6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-propionyloxy-androsta-1,4-diene-17-carbothioic acid 5-fluoromethyl ester, GI181771, fluticasone propionate  
Autonom Name (AUN): propionic acid 6,9-difluoro-17-fluoromethylsulfanylcarbonyl-11-hydroxy-8,10,13,16-tetramethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta[*a*]phenanthren-17-yl ester  
Molec. Formula (MF): C26 H33 F3 O5 S  
Molecular Weight (MW): 514.60  
Lawson Number (LN): 13618, 1164, 692  
File Segment (FS): Stereo compound  
Compound Type (CTYPE): isocyclic  
Constitution ID (CONSID): 7570973  
Tautomer ID (TAUTID): 8417876  
Entry Date (DED): 2002/01/24  
Update Date (DUPD): 2002/01/24



Atom/Bond Notes:  
1. CIP Descriptor: R  
2. CIP Descriptor: S

Field Availability:

L21 ANSWER 1 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)  
Xenobiotics, CODEN: XENOBH, 31(8-9), <2001>, 619 - 632; BABS-6314116

## L21 ANSWER 1 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL

(Continued)

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	3
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	1

Pharmacological Data:  
PHARM

Effect (.E): pharmacokinetics  
Species or Test-System (.SP): Homo sapiens  
Sex (.S): male  
Route of Application (.RA): peroral  
Concentration (.C): 2.7 mg  
Kind of Dosing (.KD): single dose; the title comp. was dissolved in 5 ml of polyethylene glycol 400; the labelled title comp. was diluted with 3.03 g of unlabelled one to produce activity of 44.65 Bq/mg

## Method, Remarks (.MR):

healthy volunteers (n=6), aged 23-37 years; (14)C-labelled and non-labeled title comp.; collection: blood, urine and faeces; serum samples were prepared with and without removal of carbon-containing serum components; HPLC; accelerator MS; LC-MS-MS; SIM

## Further Details (.FD):

blood was collected predose and 0.25-24 h post-dose; urine was collected predose and up to 120 h postdose at 6 fractions; faeces were collected predose and up to 120 h postdose at 5 fractions; samples for accelerator MS were graphitized

## Results (.RE):

the recovery of the radioactive dose (percent) in faeces/urine: 99.2/below the detection limit; the concentration of radioactivity in serum was <= 9.55 ng/ml; the title comp. was mostly excreted unchanged; ca 0.2 percent of radioactivity was excreted as metabolite

## Metabolite BRN (.BRN):

## Metabolite (.META):

8964442  
(6.alpha.,11.beta.,16.alpha.,17.beta.)-6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-propionyloxy-androsta-1,4-diene-17-carbothioic acid 5-fluoromethyl ester glucuronide

## Reference(s):

1. Young, G.; Ellis, W.; Ayrton, J.; Hussey, E.; Adamkiewicz, B.,

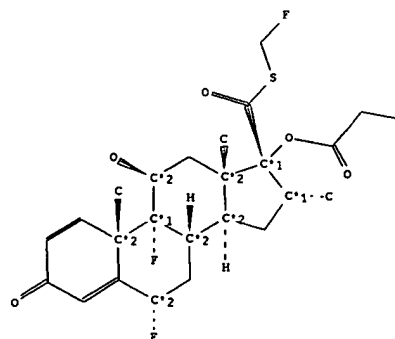
## L21 ANSWER 2 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL

Beilstein Records (BRN): 8532772  
Chemical Name (CN): fluticasone propionate  
Autonom Name (AUN): propionic acid 6,9-difluoro-17-fluoromethylsulfanylcarbonyl-11-hydroxy-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta[*a*]phenanthren-17-yl ester; compound with propionic acid  
Fragm. Molec. Formula (FMF): C25 H31 F3 O5 S, C3 H6 O2  
Molecular Formula (MF): C25 H31 F3 O5 S . C3 H6 O2  
Molecular Weight (MW): 500.57, 74.08  
Fragment BRN (FBRN): 6944704, 506071  
Lawson Number (LN): 13616, 1164, 692  
File Segment (FS): Stereo compound  
Compound Type (CTYPE): isocyclic  
Constitution ID (CONSID): 7232803  
Tautomer ID (TAUTID): 8034425  
Entry Date (DED): 2000/07/18  
Update Date (DUPD): 2000/07/18

CN 1

FBRN 6944704

FMF C25 H31 F3 O5 S



Atom/Bond Notes:  
1. CIP Descriptor: R  
2. CIP Descriptor: S

L21 ANSWER 2 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)  
CM 2

FBRN 506071  
FMF C3 H6 O2



## Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autononame	1
FMF	Fragment Molecular Formula	2
MF	Molecular Formula	1
FW	Formular Weight	2
FBRN	Fragment BRN	2
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	1

## Pharmacological Data:

## PHARM

Effect (.E): ACTH  
Endpoint of Effect (.EP): ACTH secretion  
Species or Test-System (.SP): Wistar rat pituitary gland  
Sex (.S): male  
Concentration (.C): 0.2 - 20 nmol/l  
Kind of Dosing (.KD): 2E-8 M, exposure for 30 s; 1E-8 M, exposure for 1 min; 2E-10 M, exposure for 50 min  
Method, Remarks (.MR): fragments (ca. 1 mm<sup>3</sup>) of rat pituitary gland were placed in perfusion cells and stimulated with CRF; title comp. was added immed. after CRF; estim. of ACTH secretion by a chemiluminescence immunoassay; calculation of area under the curve (AUC)  
Further Details (.FD): title comp. in ethanol was diluted with Dulbecco's modified Eagle medium (DMEM); pituitary glands were isolated from male Wistar rats (250-300 g); adrenocorticotropin (ACTH); corticotropin-releasing factor (CRF; 1E-7 M)

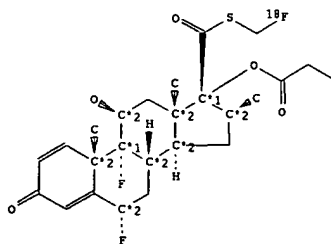
L21 ANSWER 2 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)  
Results (.RE): title comp. at 2E-10 M had no effect on CRF-stimulated ACTH secretion but at 1E-8 and 2E-8 M reduced AUC of CRF-stimulated response; this effect was more pronounced at conc. of 1E-8 M and 1-min exposure

## Reference(s):

1. Bruns, R.; Rohdewald, P., J.Pharm.Pharmacol., CODEN: JPPHAB, 52(1), <2000>, 93 - 98; BABS-6226341

L21 ANSWER 3 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL

Beilstein Records (BRN): 7895632  
Chemical Name (CN): <1F>fluticasone propionate  
Lin. Struct. Formula (LSF): C25H31F2(18)F05S  
Molec. Formula (MF): C25 H31 F3 O5 S  
Molecular Weight (MW): 500.57  
Lawson Number (LN): 13616, 1164, 692  
File Segment (FS): Stereo compound  
Compound Type (CTYPE): isocyclic  
Constitution ID (CONSID): 6721802  
Tautomer ID (TAUTID): 7455298  
Beilstein Citation (BSO): 6-10  
Entry Date (DED): 1998/07/15  
Update Date (DUPD): 1998/07/15



## Atom/Bond Notes:

1. CIP Descriptor: R
2. CIP Descriptor: S

## Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autononame	1
LSF	Linearized Structure Formula	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1

L21 ANSWER 3 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

## This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

## Reaction:

## RX

Reaction ID (.ID): 4839745  
Reactant BRN (.RBRN): 7893259, 7867130  
Reactant (.RCT): propionic acid 6,9-difluoro-11-hydroxy-10,13,16-trimethyl-3-oxo-17-thiocarboxy-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta<a>phenanthren-17-yl ester, <18F>fluoriodomethane  
Product BRN (.PBRN): 7895632  
Product (.PRO): <1F>fluticasone propionate  
No. of React. Details (.NVAR): 1

## Reaction Details:

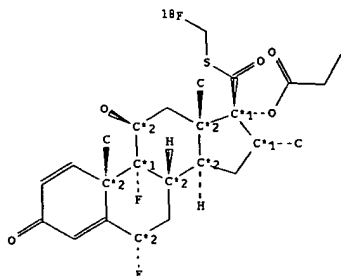
## RX

Reaction RID (.RID): 4839745.1  
Reaction Classification (.CL): Preparation  
Reagent (.RGT): K2CO3  
Solvent (.SOL): acetonitrile  
Time (.TIM): 5 min  
Temperature (.T): 80 Cel  
Note(s) (.COM): Yield given  
Reference(s):  
1. Zheng, Lei; Berridge, Marc S., J.Labelled Compd.Radiopharm., CODEN: JLCRD4, 40, <1997>, 43-45; BABS-6081485



## L21 ANSWER 4 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL

Beilstein Records (BRN): 7840959  
Chemical Name (CN): (S)-fluoromethyl-<18>F)fluticasone propionate  
Lin. Struct. Formula (LSF): C25H31F2(18)FO5S  
Molec. Formula (MF): C25 H31 F3 O5 S  
Molecular Weight (MW): 500.57  
Lawson Number (LN): 13616, 1164, 692  
File Segment (FS): Stereo compound  
Compound Type (CTYPE): isocyclic  
Constitution ID (CONSID): 6721802  
Tautomer ID (TAUTID): 7455299  
Beilstein Citation (BSO): 6-10  
Entry Date (DED): 1998/04/30  
Update Date (DUPD): 1998/05/04



Atom/Bond Notes:  
1. CIP Descriptor: R  
2. CIP Descriptor: S

## Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
LSF	Linearized Structure Formula	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3

## L21 ANSWER 4 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

Product (.PRO): (S)-fluoromethyl-<18>F)fluticasone propionate  
No. of React. Details (.NVAR): 1

## Reaction Details:

RX  
Reaction RID (.RID): 4804627.1  
Reaction Classification (.CL): Preparation  
Reagent (.RGT): <18>F>KF, KI, aminopolyether 2.2.2  
Solvent (.SOL): acetonitrile  
Time (.TIM): 30 min  
Temperature (.T): 100 Cel  
Pressure (.P): 1034.3 Torr  
Note(s) (.COM): Yield given  
Reference(s):  
1. Aigbirhio, Franklin I.; Carr, Richard M.; Pike, Victor W.; Steel, Colin J.; Sutherland, Derek R., J.Labeled Compd.Radiopharm., CODEN: JLCRD4, 39(7), <1997>, 567-584; BABS-6074922

## Reaction:

RX  
Reaction ID (.ID): 4789172  
Reactant BRN (.RBRN): 7153180  
Reactant (.RCT): propionic acid 6,9-difluoro-11-hydroxy-17-iodomethylsulfanylcarbonyl-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta<a>phenanthren-17-yl ester  
Product BRN (.PBRN): 7840959  
Product (.PRO): (S)-fluoromethyl-<18>F)fluticasone propionate  
No. of React. Details (.NVAR): 1

## Reaction Details:

RX  
Reaction RID (.RID): 4789172.1  
Reaction Classification (.CL): Preparation  
Reagent (.RGT): <18>F>KF, KI, aminopolyether 2.2.2  
Solvent (.SOL): acetonitrile  
Time (.TIM): 30 min  
Temperature (.T): 100 Cel  
Pressure (.P): 1034.3 Torr  
Note(s) (.COM): Yield given  
Reference(s):  
1. Aigbirhio, Franklin I.; Carr, Richard M.; Pike, Victor W.; Steel, Colin J.; Sutherland, Derek R., J.Labeled Compd.Radiopharm., CODEN: JLCRD4, 39(7), <1997>, 567-584; BABS-6074922

## L21 ANSWER 4 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

FS File Segment 1  
CTYPE Compound Type 1  
CONSID Constitution ID 1  
TAUTID Tautomer ID 1  
BSO Beilstein Citation 1  
ED Entry Date 1  
UPD Update Date 1

## This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	3
RXPRO	Substance is Reaction Product	3

## Reaction:

RX  
Reaction ID (.ID): 4805213  
Reactant BRN (.RBRN): 7845023  
Reactant (.RCT): propionic acid 6,9-difluoro-11-hydroxy-10,13,16-trimethyl-3-oxo-17-(toluene-4-sulfonyloxymethylsulfanylcarbonyl)-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta<a>phenanthren-17-yl ester  
Product BRN (.PBRN): 7840959  
Product (.PRO): (S)-fluoromethyl-<18>F)fluticasone propionate  
No. of React. Details (.NVAR): 1

## Reaction Details:

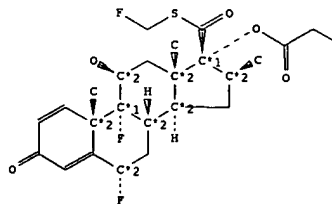
RX  
Reaction RID (.RID): 4805213.1  
Reaction Classification (.CL): Preparation  
Reagent (.RGT): <18>F>KF, KI, aminopolyether 2.2.2  
Solvent (.SOL): acetonitrile  
Time (.TIM): 30 min  
Temperature (.T): 100 Cel  
Pressure (.P): 1034.3 Torr  
Note(s) (.COM): Yield given  
Reference(s):  
1. Aigbirhio, Franklin I.; Carr, Richard M.; Pike, Victor W.; Steel, Colin J.; Sutherland, Derek R., J.Labeled Compd.Radiopharm., CODEN: JLCRD4, 39(7), <1997>, 567-584; BABS-6074922

## Reaction:

RX  
Reaction ID (.ID): 4804627  
Reactant BRN (.RBRN): 7843072  
Reactant (.RCT): propionic acid 6,9-difluoro-11-hydroxy-17-methanesulfonyloxymethylsulfanylcarbonyl-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta<a>phenanthren-17-yl ester  
Product BRN (.PBRN): 7840959

## L21 ANSWER 5 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL

Beilstein Records (BRN): 7608023  
Chemical Name (CN): propionic acid 6,9-difluoro-17-fluoromethylsulfanylcarbonyl-11-hydroxy-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta<a>phenanthren-17-yl ester  
Autonom Name (AUN): propionic acid 6,9-difluoro-17-fluoromethylsulfanylcarbonyl-11-hydroxy-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta<a>phenanthren-17-yl ester  
Molec. Formula (MF): C25 H31 F3 O5 S  
Molecular Weight (MW): 500.57  
Lawson Number (LN): 13616, 1164, 692  
File Segment (FS): Stereo compound  
Compound Type (CTYPE): isocyclic  
Constitution ID (CONSID): 6006976  
Tautomer ID (TAUTID): 7257941  
Beilstein Citation (BSO): 6-10  
Entry Date (DED): 1997/04/28  
Update Date (DUPD): 1998/03/04



Atom/Bond Notes:  
1. CIP Descriptor: R  
2. CIP Descriptor: S

## Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1

L21 ANSWER 5 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
PHARM	Pharmacological Data	2

## Pharmacological Data:

## PHARM

Note(s) (.COM): inhibition of suppression of thymus weight: ED50 = 0.47 mg/kg (male Sprague-Dawley rats)

## Reference(s):

1. Ashton, Michael J.; Lawrence, Christopher; Karlsson, Jan-Anders; Stuttle, Keith A. J.; Newton, Christopher G.; et al., J.Med.Chem., CODEN: JMCMAR, 39(25), <1996>, 4888-4896; BABS-6040221

## PHARM

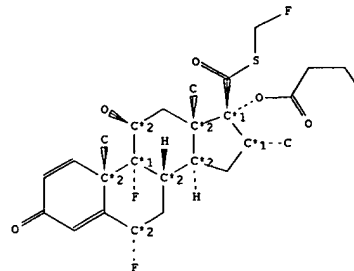
Note(s) (.COM): glucocorticoid receptor binding (rats): IC50 = 5.0 nM; induction of aminotransferase activity (rat liver H4IIE cells): ED50 = 0.1 mM; inhibition of lung edema: ED50 = 0.05 mg/kg (male Sprague-Dawley rats)

## Reference(s):

1. Ashton, Michael J.; Lawrence, Christopher; Karlsson, Jan-Anders; Stuttle, Keith A. J.; Newton, Christopher G.; et al., J.Med.Chem., CODEN: JMCMAR, 39(25), <1996>, 4888-4896; BABS-6040221

L21 ANSWER 6 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL

Beilstein Records (BRN):	7153849
Chemical Name (CN):	S-fluoromethyl 17.alpha.-(butyryloxy)-6.alpha.,9.alpha.-difluoro-11.beta.-hydroxy-16.alpha.-methyl-3-oxoandrosta-1,4-diene-17.beta.-carbothioate
Autonom Name (AUN):	butyric acid 6,9-difluoro-17-fluoromethylsulfanylcabonyl-11-hydroxy-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta[ <i>a</i> ]phenanthren-17-yl ester
Molec. Formula (MF):	C26 H33 F3 O5 S
Molecular Weight (MW):	514.60
Lawson Number (LN):	13616, 1173, 692
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	isocyclic
Constitution ID (CONS1D):	6152777
Tautomer ID (TAUTID):	6796668
Beilstein Citation (BSO):	6-10
Entry Date (DED):	1995/07/28
Update Date (DUPD):	1996/04/26



## Atom/Bond Notes:

1. CIP Descriptor: R
2. CIP Descriptor: S

## Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1

L21 ANSWER 6 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
FBRN	Fragment BRN	2
LN	Lawson Number	3
FS	File Segment	1
CTYPE	Compound Type	1
CONS1D	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
MP	Melting Point	1
ORP	Optical Rotatory Power	1
PHARM	Pharmacological Data	1
UVS	UV and Visible Spectrum	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

## Melting Point:

Value	Solvent	Ref.
(MP)	(.SOL)	
(Cel)		

249 - 252 [ethyl acetate] 1

## Reference(s):

1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCMAR, 37(22), <1994>, 3717-3729; BABS-5947931

## Optical Rotatory Power:

Value	Type	Solvent	Wavelen.	Ref.
(ORP)	(.TYP)	(.SOL)	(.W)	
(deg)			(nm)	

32 [alpha] [dioxane] 589 1 1

## Reference(s):

1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCMAR, 37(22), <1994>, 3717-3729; BABS-5947931

## UV and Visible Spectrum:

Description	Solvent	Absorption	Ext./Abs.	Ref.
		Maxima	Coeff.	
(.KV)	(.SOL)	(.AM)	(.EAC)	

L21 ANSWER 6 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

	(nm)	(1/MOL*CM)
Absorption maxima [ethanol]	237	19100

## Reference(s):

1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCMAR, 37(22), <1994>, 3717-3729; BABS-5947931

## Pharmacological Data:

## PHARM

Note(s) (.COM): human vasoconstrictor activity; topical antiinflammatory activity in rats and in mice; systemic corticosteroid activity after topical application to rats and to mice

## Reference(s):

1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCMAR, 37(22), <1994>, 3717-3729; BABS-5947931

## Reaction:

## RX

Reaction ID (.ID):	4104012
Reactant BRN (.RBRN):	7153851
Reactant (.RCT):	S-iodomethyl 17.alpha.-(butyryloxy)-6.alpha.,9.alpha.-difluoro-11.beta.-hydroxy-16.alpha.-methyl-3-oxoandrosta-1,4-diene-17.beta.-carbothioate
Product BRN (.PBRN):	7153849
Product (.PRO):	S-fluoromethyl 17.alpha.-(butyryloxy)-6.alpha.,9.alpha.-difluoro-11.beta.-hydroxy-16.alpha.-methyl-3-oxoandrosta-1,4-diene-17.beta.-carbothioate
No. of React. Details (.NVAR):	1

## Reaction Details:

## RX

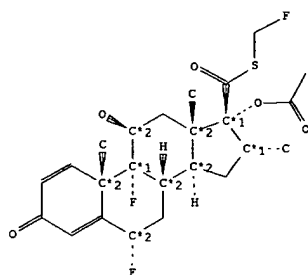
Reaction RID (.RID):	4104012.1
Reaction Classification (.CL):	Preparation
Yield (.YDT):	76 percent (BRN=7153849)
Reagent (.RGT):	Agf
Solvent (.SOL):	acetonitrile
Other Conditions (.COND):	Ambient temperature

## Reference(s):

1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCMAR, 37(22), <1994>, 3717-3729; BABS-5947931

## L21 ANSWER 7 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL

Beilstein Records (BRN): 7152974  
Chemical Name (CN): S-fluoromethyl 17.alpha.-acetoxy-6.alpha.,9.alpha.-difluoro-11.beta.-hydroxy-16.alpha.-methyl-3-oxoandrosta-1,4-diene-17.beta.-carbothioate  
Autonom Name (AUN): acetic acid 6,9-difluoro-17-fluoromethylsulfanylcabonyl-11-hydroxy-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta[*a*]phenanthren-17-yl ester  
Molec. Formula (MF): C24 H29 F3 O5 S  
Molecular Weight (MW): 486.55  
Lawson Number (LN): 13616, 1155, 692  
File Segment (FS): Stereo compound  
Compound Type (CTYPE): isocyclic  
Constitution ID (CONSID): 6148421  
Tautomer ID (TAUTID): 6795841  
Beilstein Citation (BSO): 6-10  
Entry Date (DED): 1995/07/28  
Update Date (DUPD): 1996/04/26



Atom/Bond Notes:  
1. CIP Descriptor: R  
2. CIP Descriptor: S

## Field Availability:

Code	Name	Occurrence
------	------	------------

## L21 ANSWER 7 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

UV and Visible Spectrum:  
Description | Solvent | Absorption | Ext./Abs. | Ref.  
(.KW) | (.SOL) | Maxima | Coeff. |  
| | | (nm) | (EAC) |  
| | | | (1/MOL\*CM) |  
-----  
Absorption maxima | ethanol | 236 | 19000 | 1

## Reference(s):

1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCHEM, 37(22), <1994>, 3717-3729; BABS-5947931

Pharmacological Data:  
PHARM

Note(s) (.COM): human vasoconstrictor activity; topical antiinflammatory activity in rats and in mice; systemic corticosteroid activity after topical application to rats and to mice

## Reference(s):

1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCHEM, 37(22), <1994>, 3717-3729; BABS-5947931

## Reaction:

RX  
Reaction ID (.RID): 4103704  
Reactant BRN (.RBRN): 7152977  
Reactant (.RCT): S-iodomethyl 17.alpha.-acetoxy-6.alpha.,9.alpha.-difluoro-11.beta.-hydroxy-16.alpha.-methyl-3-oxoandrosta-1,4-diene-17.beta.-carbothioate  
Product BRN (.PBRN): 7152974  
Product (.PRO): S-fluoromethyl 17.alpha.-acetoxy-6.alpha.,9.alpha.-difluoro-11.beta.-hydroxy-16.alpha.-methyl-3-oxoandrosta-1,4-diene-17.beta.-carbothioate  
No. of React. Details (.NVAR): 1

## Reaction Details:

RX  
Reaction RID (.RID): 4103704.1  
Reaction Classification (.CL): Preparation  
Yield (.YDT): 70 percent (BRN=7152974)  
Reagent (.RGT): AgF  
Solvent (.SOL): acetonitrile  
Other Conditions (.COND): Ambient temperature  
Reference(s):

1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCHEM, 37(22), <1994>, 3717-3729; BABS-5947931

## L21 ANSWER 7 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

BRN Beilstein Records 1  
CN Chemical Name 1  
AUN Autonom Name 1  
MF Molecular Formula 1  
FW Formular Weight 1  
LN Lawson Number 3  
FS File Segment 1  
CTYPE Compound Type 1  
CONSID Constitution ID 1  
TAUTID Tautomer ID 1  
BSO Beilstein Citation 1  
ED Entry Date 1  
UPD Update Date 1  
MP Melting Point 1  
ORP Optical Rotatory Power 1  
PHARM Pharmacological Data 1  
UVS UV and Visible Spectrum 1

## This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

## Melting Point:

Value	Solvent	Ref.
(MP)	(.SOL)	
(Cel)		
-----		
308 - 310	ethyl acetate	1

## Reference(s):

1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCHEM, 37(22), <1994>, 3717-3729; BABS-5947931

## Optical Rotatory Power:

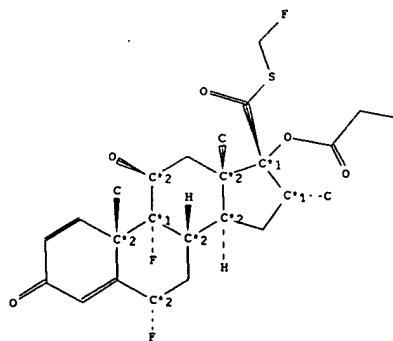
Value	Type	Solvent	Wavelength	Ref.
(ORP)	(.TYP)	(.SOL)	(.W)	
(deg)			(nm)	
-----				
29	[alpha]	dioxane	589	1

## Reference(s):

1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCHEM, 37(22), <1994>, 3717-3729; BABS-5947931

## L21 ANSWER 8 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL

Beilstein Records (BRN): 6944704  
Beilstein Pref. RN (BPR): 80474-14-2  
CAS Reg. No. (RN): 80474-14-2  
Chemical Name (CN): ., fluticasone propionate  
Autonom Name (AUN): propionic acid 6,9-difluoro-17-fluoromethylsulfanylcabonyl-11-hydroxy-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta[*a*]phenanthren-17-yl ester  
Molec. Formula (MF): C25 H31 F3 O5 S  
Molecular Weight (MW): 500.57  
Lawson Number (LN): 13616, 1164, 692  
File Segment (FS): Stereo compound  
Compound Type (CTYPE): isocyclic  
Constitution ID (CONSID): 6006976  
Tautomer ID (TAUTID): 6614404  
Beilstein Citation (BSO): 6-10  
Entry Date (DED): 1995/01/25  
Update Date (DUPD): 2001/07/25



Atom/Bond Notes:  
1. CIP Descriptor: R  
2. CIP Descriptor: S

## Field Availability:

Code	Name	Occurrence
------	------	------------

## L21 ANSWER 8 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

BRN Beilstein Records 1  
BPR Beilstein Preferred RN 1  
RNR CAS Registry Number 1  
CN Chemical Name 2  
AUN Autonomname 1  
MF Molecular Formula 1  
FW Formular Weight 1  
LN Lawson Number 3  
FS File Segment 1  
CTYPE Compound Type 1  
CONSID Constitution ID 1  
TAUTID Tautomer ID 1  
BSO Beilstein Citation 1  
ED Entry Date 1  
UPD Update Date 1  
CDEN Density (Crystal) 1  
CRYPH Crystal Phase 2  
CSG Crystal Space Group 1  
CSYS Crystal System 1  
CTP Crystal Transition Point 1  
IR Infrared Spectrum 1  
MP Melting Point 1  
NMR Nuclear Magnetic Resonance 18  
ORP Optical Rotatory Power 1  
PHARM Pharmacological Data 12  
UVS UV and Visible Spectrum 2

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	4
RXPRO	Substance is Reaction Product	4

## Melting Point:

Value	Solvent	Ref.
(MP)	(.SOL)	
(Cel)		

-----  
274 - 275 | acetone | 1

## Reference(s):

1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCHAR, 37(22), <1994>, 3717-3729; BABS-5947931

## Crystal Phase:

CRYPH Description (.KW): Polymorphism  
Note(s) (.COM): X-ray diffraction  
Reference(s):  
1. Kariuki, Benson M.; Psallidas, Katerina; Harris, Kenneth D. M.; Johnston, Roy L.; Lancaster, Robert W.; Staniforth, Susan E.; Cooper, Simon M., Chem.Comm., CODEN: CHCOFS(17), <1999>, 1677 - 1678; BABS-6217436

## L21 ANSWER 8 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

1. Kariuki, Benson M.; Psallidas, Katerina; Harris, Kenneth D. M.; Johnston, Roy L.; Lancaster, Robert W.; Staniforth, Susan E.; Cooper, Simon M., Chem.Comm., CODEN: CHCOFS(17), <1999>, 1677 - 1678; BABS-6217436

## Optical Rotatory Power:

Value	Type	Solvent	Wavelen.	Ref.
(ORP)	(.TYP)	(.SOL)	(.W)	
(deg)			(nm)	

-----  
32 | [alpha] | dioxane | 589 | 1

## Reference(s):

1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCHAR, 37(22), <1994>, 3717-3729; BABS-5947931

## Nuclear Magnetic Resonance:

NMR Description (.KW): Spectrum  
Nucleus (.NUC): <sup>19</sup>F  
Solvents (.SOL): solid  
Reference(s):  
1. Carss, Steven A.; Scheler, Ulrich; Harris, Robin K.; Holstein, Peter; Fletton, Richard A., Magn.Reson.Chem., CODEN: MRCHEG, 34(1), <1996>, 63-70; BABS-6005245

NMR Description (.KW): Spectrum  
Nucleus (.NUC): <sup>1</sup>H  
Solvents (.SOL): acetone-d6  
Reference(s):  
1. Carss, Steven A.; Harris, Robin K.; Fletton, Richard A., Magn.Reson.Chem., CODEN: MRCHEG, 33(7), <1995>, 501-505; BABS-5963261

NMR Description (.KW): Spectrum  
Nucleus (.NUC): <sup>13</sup>C  
Solvents (.SOL): dimethylsulfoxide-d6  
Reference(s):  
1. Carss, Steven A.; Harris, Robin K.; Fletton, Richard A., Magn.Reson.Chem., CODEN: MRCHEG, 33(7), <1995>, 501-505; BABS-5963261

NMR Description (.KW): Spectrum  
Nucleus (.NUC): <sup>13</sup>C  
Solvents (.SOL): solid  
Reference(s):  
1. Carss, Steven A.; Harris, Robin K.; Fletton, Richard A., Magn.Reson.Chem., CODEN: MRCHEG, 33(7), <1995>, 501-505; BABS-5963261

NMR Description (.KW): Spectrum  
Nucleus (.NUC): <sup>19</sup>F  
Solvents (.SOL): solid  
Reference(s):  
1. Carss, Steven A.; Harris, Robin K.; Holstein, Peter; Say, Barry J.; Fletton, Richard A., J.Chem.Soc.Chem.Comm., CODEN: JOCCAT(20), <1994>, 2407-2408; BABS-5904232

NMR Description (.KW): Chemical shifts

## L21 ANSWER 8 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

Simon M., Chem.Comm., CODEN: CHCOFS(17), <1999>, 1677 - 1678; BABS-6217436

CRYPH Description (.KW): Crystal structure determination  
Temperature (.T): 22 Cel  
Reference(s):  
1. Kariuki, Benson M.; Psallidas, Katerina; Harris, Kenneth D. M.; Johnston, Roy L.; Lancaster, Robert W.; Staniforth, Susan E.; Cooper, Simon M., Chem.Comm., CODEN: CHCOFS(17), <1999>, 1677 - 1678; BABS-6217436

## Crystal Transition Point:

Value	Change of	Ref.
(CTP)	Modification	
(Cel)	(.CH)	

-----  
154 - 165 | From crystalline to 1  
| crystalline |

## Reference(s):

1. Kariuki, Benson M.; Psallidas, Katerina; Harris, Kenneth D. M.; Johnston, Roy L.; Lancaster, Robert W.; Staniforth, Susan E.; Cooper, Simon M., Chem.Comm., CODEN: CHCOFS(17), <1999>, 1677 - 1678; BABS-6217436

## Crystal System:

CSYS  
CSYS: rhombic  
Reference(s):  
1. Kariuki, Benson M.; Psallidas, Katerina; Harris, Kenneth D. M.; Johnston, Roy L.; Lancaster, Robert W.; Staniforth, Susan E.; Cooper, Simon M., Chem.Comm., CODEN: CHCOFS(17), <1999>, 1677 - 1678; BABS-6217436

## Crystal Space Group:

CSG  
CSG: D42  
Reference(s):  
1. Kariuki, Benson M.; Psallidas, Katerina; Harris, Kenneth D. M.; Johnston, Roy L.; Lancaster, Robert W.; Staniforth, Susan E.; Cooper, Simon M., Chem.Comm., CODEN: CHCOFS(17), <1999>, 1677 - 1678; BABS-6217436

## Crystal Density:

Value	Ref.
(CDEN)	
(g/cm**3)	

-----  
1.34 | 1

## Reference(s):

## L21 ANSWER 8 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

1. Aigbirio, Franklin I.; Carr, Richard M.; Pike, Victor W.; Steel, Colin J.; Sutherland, Derek R., J.Labelled Compd.Radiopharm., CODEN: JLCRD4, 39(7), <1997>, 567-584; BABS-6074922

## NMR

Description (.KW): Chemical shifts  
Nucleus (.NUC): <sup>19</sup>F  
Solvents (.SOL): CDCl3  
Reference(s):  
1. Carss, Steven A.; Scheler, Ulrich; Harris, Robin K.; Holstein, Peter; Fletton, Richard A., Magn.Reson.Chem., CODEN: MRCHEG, 34(1), <1996>, 63-70; BABS-6005245

## NMR

Description (.KW): Chemical shifts  
Nucleus (.NUC): <sup>13</sup>C  
Solvents (.SOL): dimethylsulfoxide-d6  
Reference(s):  
1. Carss, Steven A.; Harris, Robin K.; Fletton, Richard A., Magn.Reson.Chem., CODEN: MRCHEG, 33(7), <1995>, 501-505; BABS-5963261

## NMR

Description (.KW): Chemical shifts  
Nucleus (.NUC): <sup>13</sup>C  
Solvents (.SOL): solid  
Reference(s):  
1. Carss, Steven A.; Harris, Robin K.; Fletton, Richard A., Magn.Reson.Chem., CODEN: MRCHEG, 33(7), <1995>, 501-505; BABS-5963261

## NMR

Description (.KW): Chemical shifts  
Nucleus (.NUC): <sup>1</sup>H  
Solvents (.SOL): dimethylsulfoxide-d6  
Reference(s):  
1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCHAR, 37(22), <1994>, 3717-3729; BABS-5947931

## NMR

Description (.KW): Chemical shifts  
Nucleus (.NUC): <sup>19</sup>F  
Solvents (.SOL): CDCl3  
Reference(s):  
1. Carss, Steven A.; Harris, Robin K.; Holstein, Peter; Say, Barry J.; Fletton, Richard A., J.Chem.Soc.Chem.Comm., CODEN: JOCCAT(20), <1994>, 2407-2408; BABS-5904232

## NMR

Description (.KW): Linewidth of NMR absorption  
Reference(s):  
1. Carss, Steven A.; Harris, Robin K.; Holstein, Peter; Say, Barry J.; Fletton, Richard A., J.Chem.Soc.Chem.Comm., CODEN: JOCCAT(20), <1994>, 2407-2408; BABS-5904232  
2. Carss, Steven A.; Scheler, Ulrich; Harris, Robin K.; Holstein, Peter; Fletton, Richard A., Magn.Reson.Chem., CODEN: MRCHEG, 34(1), <1996>, 63-70; BABS-6005245

## NMR

Description (.KW): 2D-NMR  
Reference(s):  
1. Carss, Steven A.; Harris, Robin K.; Fletton, Richard A., Magn.Reson.Chem., CODEN: MRCHEG, 33(7), <1995>, 501-505; BABS-5963261

## NMR

Description (.KW): Spin-spin coupling constants  
Note(s) (.COM): <sup>19</sup>F-<sup>1</sup>H

L21 ANSWER 8 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

Reference(s):  
 1. Aigbirhio, Franklin I.; Carr, Richard M.; Pike, Victor W.; Steel, Colin J.; Sutherland, Derek R., J. Labelled Compd. Radiopharm., CODEN: JLCRD4, 39(7), <1997>, 567-584; BABS-6074922

## NMR

Description (.KW): Spin-spin coupling constants  
 Solvents (.SOL): CDCl<sub>3</sub>  
 Note(s) (.COM): 1H-19F.

## Reference(s):

1. Carss, Steven A.; Scheler, Ulrich; Harris, Robin K.; Holstein, Peter; Fletton, Richard A., Magn. Reson. Chem., CODEN: MRCHG, 34(1), <1996>, 63-70; BABS-6005245

## NMR

Description (.KW): Spin-spin coupling constants  
 Solvents (.SOL): dimethylsulfoxide-d<sub>6</sub>  
 Note(s) (.COM): 19F-13C.

## Reference(s):

1. Carss, Steven A.; Harris, Robin K.; Fletton, Richard A., Magn. Reson. Chem., CODEN: MRCHG, 33(7), <1995>, 501-505; BABS-5963261

## NMR

Description (.KW): Spin-spin coupling constants  
 Note(s) (.COM): 19F-13C. Solvent(s): neat (no solvent, solid phase)

## Reference(s):

1. Carss, Steven A.; Harris, Robin K.; Fletton, Richard A., Magn. Reson. Chem., CODEN: MRCHG, 33(7), <1995>, 501-505; BABS-5963261

## NMR

Description (.KW): Spin-spin coupling constants  
 Solvents (.SOL): dimethylsulfoxide-d<sub>6</sub>  
 Note(s) (.COM): 1H-1H  
 Reference(s):  
 1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J. Med. Chem., CODEN: JMCMAR, 37(22), <1994>, 3717-3729; BABS-5947931

## Infrared Spectrum:

Descript ion	Solvent	Ref.	Note
(.KW)	(.SOL)		

Bands | nujol | 1 | 1

## Reference(s):

1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J. Med. Chem., CODEN: JMCMAR, 37(22), <1994>, 3717-3729; BABS-5947931

## Notes(s):

1. 3350 - 1612 cm<sup>-1</sup> (-1)

## UV and Visible Spectrum:

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Further Details (.FD): OVA: ovalbumin; DC: dendritic cell; title comp. was given as aerosol (0.5 mg/ml) for 10 min five times at 12-h intervals and the last dose was given 6h before OVA challenge  
 Results (.RE): Title comp. significantly inhibited the OVA-induced increase in DC number: in lamina propria by 97 percent and in adventitia by 105 percent (diagrams)

## Reference(s):

1. Lawrence, Tracey E.; Millecchia, Lyndell L.; Fedan, Jeffrey S., J. Pharmacol. Exp. Ther., CODEN: JPETAB, 284(1), <1998>, 222 - 227; BABS-6276011

## PHARM

Effect (.E): anti-inflammatory  
 Species or Test-System (.SP): Dunkin-Hartley guinea pig (trachea)  
 Sex (.S): male  
 Route of Application (.RA): inhalation  
 Method, Remarks (.MR): Animals (300-350 g) sensitized and challenged with OVA; animals treated with title comp. at 18 h after OVA challenge animals exsanguinated; sections of trachea examined for eosinophil, DC and MC densities; effect on inflammatory response assessed

## Further Details (.FD):

OVA: ovalbumin; DC: dendritic cell; MC: macrophage cell; title comp. was given as aerosol (0.5 mg/ml) for 10 min five times at 12-h intervals and last dose was given 6 h before OVA challenge; TE: tracheal epithelium; LP: lamina propria; S: submucosa  
 Results (.RE): Significant inhibitory effects on inflammatory response observed; title comp. induced a 70 percent decrease in influx of eosinophils in TE, but did not affect MC number; increase in DC number evoked by OVA was inhibited by 56, 70 and 104 percent in TE, LP and S, resp.

## Reference(s):

1. Lawrence, Tracey E.; Millecchia, Lyndell L.; Fedan, Jeffrey S., J. Pharmacol. Exp. Ther., CODEN: JPETAB, 284(1), <1998>, 222 - 227; BABS-6276011

## PHARM

Effect (.E): antialsthma  
 Species or Test-System (.SP): Dunkin-Hartley guinea pig  
 Sex (.S): male  
 Route of Application (.RA): inhalation  
 Method, Remarks (.MR): Animals (300-350 g) sensitized and challenged (on day 21) with OVA to develop pulmonary obstruction; animals treated with title comp.; airway reactivity to MCh assessed (in vivo) before sensitization and 18 h after it; pulmonary function measured

## Further Details (.FD):

OVA: ovalbumin; MCh: methacholine; animals treated with aerosol inhalation of title comp. (0.5 mg/ml) for 10 min five times at 12-h intervals, the last dose given 6 h

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Description	Solvent	Absorption	Ext./Abs.	Ref.
(.KW)	(.SOL)	Maxima	Coeff.	
		(.AM)	(.EAC)	
		(nm)		
			(I/MOL*CM)	

## Absorption maxima

236	1
-----	---

## Absorption maxima

ethanol	236.5	18600	2
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## Reference(s):

1. Aigbirhio, Franklin I.; Carr, Richard M.; Pike, Victor W.; Steel, Colin J.; Sutherland, Derek R., J. Labelled Compd. Radiopharm., CODEN: JLCRD4, 39(7), <1997>, 567-584; BABS-6074922  
 2. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J. Med. Chem., CODEN: JMCMAR, 37(22), <1994>, 3717-3729; BABS-5947931

## Pharmacological Data:

## PHARM

Effect (.E): immunosuppressant  
 Species or Test-System (.SP): human  
 Sex (.S): male  
 Route of Application (.RA): inhalation  
 Concentration (.C): 1 mg  
 Kind of Dosing (.KD): single dose or seven doses during 3.5 days (12 h intervals)  
 Method, Remarks (.MR): 25 non-smoking healthy volunteers; title comp. administered at 2200 h on day 1; after at least 1 week washout period administered multiple doses; blood samples taken at regular intervals  
 Further Details (.FD): plasma cortisol levels determined by radioimmunoassay and validated against GC-MS; white blood cells (WBC) and differential counting performed; placebo controlled study  
 Results (.RE): title comp. reduced plasma cortisol (25 percent with a single dose and 55 percent with a multiple dose) and changed WBC and differential counts more with multiple dosing

## Reference(s):

1. Loennebo, A.; Grahnen, A.; Jansson, B.; Brundin, R. M.; Ling-Andersson, A.; Eckernaes, S.-A., Eur. J. Clin. Pharmacol., CODEN: EUCPAS, 49(5), <1996>, 459 - 464; BABS-6280420

## PHARM

Effect (.E): anti-inflammatory  
 Species or Test-System (.SP): Dunkin-Hartley guinea pig (lung)  
 Sex (.S): male  
 Route of Application (.RA): inhalation  
 Method, Remarks (.MR): Animals (300-350 g) sensitized and challenged with OVA; animals treated with title comp. at 18 h after OVA challenge animals exsanguinated; sections of lung examined for DC densities; effect on

L21 ANSWER 8 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

Results (.RE): before OVA challenge  
 MCh dose - response curves before sensitization with OVA and 18 h after OVA challenge in untreated and title comp. treated guinea pigs; OVA evoked airway hyperreactivity to MCh; title comp. abolished OVA-induced hyperresponsiveness (figure)

## Reference(s):

1. Lawrence, Tracey E.; Millecchia, Lyndell L.; Fedan, Jeffrey S., J. Pharmacol. Exp. Ther., CODEN: JPETAB, 284(1), <1998>, 222 - 227; BABS-6276011

## PHARM

Effect (.E): receptor; binding activity  
 Species or Test-System (.SP): human glucocorticoid receptor  
 Method, Remarks (.MR): competition assay with 3H dexamethasone  
 Type (.TYP): IC50  
 Value of Type (.V): 0.5 nmol/l

## Reference(s):

1. Biggs, Keith; Angell, Richard M.; Burgess, Colin M.; Farrell, Rosanne M.; Hancock, Ashley P.; Harker, Andy J.; Irving, Wendy R.; Ioannou, Chris; Procopiou, Panayiotis A.; Shaw, Rupert E.; Solanke, Yemisi E.; et al., J. Med. Chem., CODEN: JMCMAR, 43(1), <2000>, 19 - 21; BABS-6252602

## PHARM

Effect (.E): drug interaction  
 Species or Test-System (.SP): human airway epithelial cells (9HTEO-)  
 Concentration (.C): 3 nmol/l  
 Method, Remarks (.MR): human tracheal epithelial cells transformed with a replication-defective simian virus 40, 9HTEO-; secretory leucocyte protease inhibitor transcript (SLPI) levels detn. by isolation of steady-state mRNA

## Further Details (.FD):

levels of SLPI and .beta.-actin transcripts evaluated by Northern blot  
 meth. protein concns. by optical density meth. SLPI/.beta.-actin ratio calculated; cells incubated with human neutrophil elastase 0.1-3.0 U/ml in presence/absence of title comp. for 48 h  
 Results (.RE): title comp. alone or neutrophil elastase alone increased SLPI transcript levels; administered together the levels of transcript were greater than the sum of the response produced by neutrophil elastase or title comp. alone; synergistic effect

## Reference(s):

1. Abbinante-Nissen, Joan M.; Simpson, Leigh G.; Leikauf, George D., Am. J. Physiol., CODEN: AJPHAP, 268/12(4), <1995>, L601 - L606; BABS-6221651

## PHARM

Effect (.E): agonist  
 Species or Test-System (.SP): human airway epithelial cells (9HTEO-)  
 Concentration (.C): 10 nmol/l  
 Method, Remarks (.MR): human tracheal epithelial cells transformed with a replication-defective simian virus 40, 9HTEO-; secretory leucocyte protease inhibitor transcript

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Further Details (.FD): (SLPI) levels detn. by isolation of steady-state mRNA levels of steady-state SLPI and .beta.-actin transcripts were evaluated by Northern blot anal.; protein concns. by optical density meth.; cells incubated with title comp.

Results (.RE): title comp. increased fourfold SLPI transcript levels during 48 h treatment over control; .beta.-actin levels unaffected; SLPI transcript levels decreased with longer exposure of 72 and 96 h SLPI protein release accumulate through 96 h from 7 to 56 ng/ml

Reference(s): 1. Abbinante-Nissen, Joan M.; Simpson, Leigh G.; Leikauf, George D., Am.J.Physiol., CODEN: AJPHAP, 268/12(4), <1995>, L601 - L606; BABS-6221651

PHARM Effect (.E): agonist  
Species or Test-System (.SP): human airway epithelial cells (SHTEo-)  
Concentration (.C): 0.001 - 1000 nmol/l  
Method, Remarks (.MR): human tracheal epithelial cells transformed with a replication-defective simian virus 40, SHTEo-; secretory leucocyte protease inhibitor transcript (SLPI) levels detn. by isolation of steady-state mRNA

Further Details (.FD): levels of steady-state SLPI and .beta.-actin transcripts were evaluated by Northern blot anal.; protein concns. by optical density meth.; SLPI/.beta.-actin ratio calculated; cells incubated with title comp. in various concns. for 48 h ED50 0.1 nmol/l  
Value of Type (.V): title comp., very potent corticosteroid, increased SLPI transcript levels in concn.-dependent manner in low concns.

Results (.RE):

Reference(s): 1. Abbinante-Nissen, Joan M.; Simpson, Leigh G.; Leikauf, George D., Am.J.Physiol., CODEN: AJPHAP, 268/12(4), <1995>, L601 - L606; BABS-6221651

PHARM Effect (.E): antiallergic  
Species or Test-System (.SP): human  
Sex (.S): male and female  
Route of Application (.RA): nasal  
Concentration (.C): 200 .mg  
Kind of Dosing (.KD): twice daily  
Exposure Period (.EX): 2 week(s)  
Method, Remarks (.MR): double-blind placebo-controlled cross-over study, patients had a history of perennial rhinitis and skin reaction of house dust mite; after nasal lavages provocation with house dust mite extract nasal lavages were

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Reaction Details:  
RX  
Reaction RID (.RID): 4805212.1  
Reaction Classification (.CL): Preparation  
Yield (.YDT): 21 percent Spectr. (BRN=7153180), 43 percent Spectr. (BRN=6944704), 24 percent Spectr. (BRN=7850616)  
Reagent (.RGT): KF, KI, aminopolyether 2.2.2  
Solvent (.SOL): acetonitrile  
Time (.TIM): 35 min  
Temperature (.T): 100 Cel  
Pressure (.P): 1050.08 Torr  
Reference(s): 1. Aigbirchio, Franklin I.; Carr, Richard M.; Pike, Victor W.; Steel, Colin J.; Sutherland, Derek R., J.Labelled Compd.Radiopharm., CODEN: JLCRD4, 39(7), <1997>, 567-584; BABS-6074922

RX  
Reaction RID (.RID): 4805212.2  
Reaction Classification (.CL): Preparation  
Yield (.YDT): 21 percent Spectr. (BRN=7153180), 24 percent Spectr. (BRN=7850616), 43 percent Spectr. (BRN=6944704)  
Reagent (.RGT): KF, KI, aminopolyether 2.2.2  
Solvent (.SOL): acetonitrile  
Time (.TIM): 35 min  
Temperature (.T): 100 Cel  
Pressure (.P): 1050.08 Torr  
Reference(s): 1. Aigbirchio, Franklin I.; Carr, Richard M.; Pike, Victor W.; Steel, Colin J.; Sutherland, Derek R., J.Labelled Compd.Radiopharm., CODEN: JLCRD4, 39(7), <1997>, 567-584; BABS-6074922

Reaction:  
RX  
Reaction ID (.ID): 4103769  
Reactant BRN (.RBRN): 7153180  
Reactant (.RCT): propionic acid 6,9-difluoro-11-hydroxy-17-iodomethylsulfanylcarbonyl-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta<a>phenanthren-17-yl ester  
Product BRN (.PBRN): 6944704  
Product (.PRO): fluticasone propionate  
No. of React. Details (.NVAR): 1

Reaction Details:  
RX  
Reaction RID (.RID): 4103769.1  
Reaction Classification (.CL): Preparation  
Yield (.YDT): 74 percent (BRN=6944704)  
Reagent (.RGT): AgF  
Solvent (.SOL): acetonitrile  
Time (.TIM): 4 hour(s)  
Other Conditions (.COND): Ambient temperature  
Reference(s): 1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCHAR, 37(22), <1994>, 3717-3729; BABS-5947931

L21 ANSWER 8 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

Further Details (.FD): collected interleukin-5 levels in nasal lavage were assayed; eosinophil cationic protein (ECP) was determined in lavages by radioimmunoassay

Results (.RE): treatment with title compound significantly decreased evoked interleukin-5 and ECP levels in late phase reaction

Reference(s): 1. Garrolds, Ingrid M.; Veld, Tineke De Graaf-in 't; Nahori, Marie-Anne; Vargaftig, B. Boris; Wijk, Roy Gerth van; Zijlstra, Freek J., Eur.J.Pharmacol., CODEN: EJPHAZ, 275(3), <1995>, 295 - 300; BABS-6132466

PHARM Note(s) (.COM): in vitro human glucocorticoid receptor binding affinity

Reference(s): 1. Smith, Carolyn L.; Kreutner, William, Arzneim.Forsch., CODEN: ARZNAD, 48(9), <1998>, 956-960; BABS-6118217

PHARM Note(s) (.COM): transcriptional activation of glucocorticoid receptor (ED50: 3.2E-10 M)

Reference(s): 1. Smith, Carolyn L.; Kreutner, William, Arzneim.Forsch., CODEN: ARZNAD, 48(9), <1998>, 956-960; BABS-6118217

PHARM Note(s) (.COM): human vasoconstrictor activity; topical antiinflammatory activity in rats and in mice; systemic corticosteroid activity after topical application to rats and to mice

Reference(s): 1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCHAR, 37(22), <1994>, 3717-3729; BABS-5947931

Reaction:  
RX  
Reaction ID (.ID): 4805212  
Reactant BRN (.RBRN): 7845023  
Reactant (.RCT): propionic acid 6,9-difluoro-11-hydroxy-10,13,16-trimethyl-3-oxo-17-(toluene-4-sulfonyloxymethylsulfanylcarbonyl)-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta<a>phenanthren-17-yl ester  
Product BRN (.PBRN): 7153180, 6944704, 7850616  
Product (.PRO): propionic acid 6,9-difluoro-11-hydroxy-17-iodomethylsulfanylcarbonyl-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta<a>phenanthren-17-yl ester, fluticasone propionate, C49H60F4O10S2  
No. of React. Details (.NVAR): 2

L21 ANSWER 8 OF 8 BEILSTEIN COPYRIGHT 2003 BEILSTEIN CDS MDL (Continued)

Reaction:  
RX  
Reaction ID (.ID): 4072156  
Reactant BRN (.RBRN): 7152355, 1730797  
Reactant (.RCT): 6.alpha.,9.alpha.-11.beta.-hydroxy-16.alpha.-methyl-3-oxo-17.alpha.-(propionylloxy)androsta-1,4-diene-17.beta.-carboxylic acid, bromo-fluoro-methane 6944704  
Product BRN (.PBRN): 7153180, 6944704, 7850616  
Product (.PRO): propionic acid 6,9-difluoro-11-hydroxy-17-iodomethylsulfanylcarbonyl-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta<a>phenanthren-17-yl ester, fluticasone propionate, C49H60F4O10S2  
No. of React. Details (.NVAR): 1

Reaction Details:  
RX  
Reaction RID (.RID): 4072156.1  
Reaction Classification (.CL): Preparation  
Yield (.YDT): 9.4 percent (BRN=6944704)  
Reagent (.RGT): K2CO3  
Solvent (.SOL): dimethylformamide  
Time (.TIM): 1 hour(s)  
Temperature (.T): -5 - 0 Cel  
Reference(s): 1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCHAR, 37(22), <1994>, 3717-3729; BABS-5947931

Reaction:  
RX  
Reaction ID (.ID): 4071152  
Reactant BRN (.RBRN): 7152355, 1696888  
Reactant (.RCT): 6.alpha.,9.alpha.-11.beta.-hydroxy-16.alpha.-methyl-3-oxo-17.alpha.-(propionylloxy)androsta-1,4-diene-17.beta.-carboxylic acid, fluoro-iodo-methane 6944704  
Product BRN (.PBRN): 7153180, 6944704, 7850616  
Product (.PRO): propionic acid 6,9-difluoro-11-hydroxy-17-iodomethylsulfanylcarbonyl-10,13,16-trimethyl-3-oxo-6,7,8,9,10,11,12,13,14,15,16,17-dodecahydro-3H-cyclopenta<a>phenanthren-17-yl ester, fluticasone propionate, C49H60F4O10S2  
No. of React. Details (.NVAR): 1

Reaction Details:  
RX  
Reaction RID (.RID): 4071152.1  
Reaction Classification (.CL): Preparation  
Yield (.YDT): 67.1 percent (BRN=6944704)  
Reagent (.RGT): KHCO3  
Solvent (.SOL): dimethylformamide  
Time (.TIM): 0.25 hour(s)  
Temperature (.T): 22 - 25 Cel  
Reference(s): 1. Phillips, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; et al., J.Med.Chem., CODEN: JMCHAR, 37(22), <1994>, 3717-3729; BABS-5947931

=> d his

(FILE 'HOME' ENTERED AT 14:42:07 ON 16 JAN 2003)

FILE 'REGISTRY' ENTERED AT 14:42:12 ON 16 JAN 2003

L1 1 S FLUTICASONE/CN  
L2 STRUCTURE UPLOADED  
L3 7 S L2  
L4 96 S L2 FULL

FILE 'USPATFULL' ENTERED AT 14:45:19 ON 16 JAN 2003

L5 149 S L4

FILE 'REGISTRY' ENTERED AT 14:45:52 ON 16 JAN 2003

L6 STRUCTURE UPLOADED  
L7 95 S L6 FULL SUB=L4

FILE 'CAPLUS' ENTERED AT 14:46:41 ON 16 JAN 2003

L8 450 S L7/THU  
L9 232 S L8 NOT PY>=2001  
L10 543 S L7  
L11 34 S L10 AND SURFACTANT?

FILE 'REGISTRY' ENTERED AT 14:50:40 ON 16 JAN 2003

L12 86 S L7 AND 1/NC

FILE 'CAPLUS' ENTERED AT 14:51:34 ON 16 JAN 2003

L13 443 S L12/THU  
L14 232 S L13 NOT PY>=2001  
L15 179 S L13 NOT PY>=2000  
L16 28 S L13 AND SURFACTANT?  
L17 5 S L13 AND ETHANEDIYL?

FILE 'USPATFULL' ENTERED AT 14:59:43 ON 16 JAN 2003

L18 99 S L12  
L19 64 S L18 AND SURFACTANT?  
L20 3 S L18 AND ETHANEDIYL?

FILE 'BEILSTEIN' ENTERED AT 15:05:00 ON 16 JAN 2003

L21 8 S L6 FULL

FILE 'CAPLUS' ENTERED AT 15:06:52 ON 16 JAN 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.83	655.62
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-22.13

FILE 'REGISTRY' ENTERED AT 15:07:50 ON 16 JAN 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 JAN 2003 HIGHEST RN 479190-61-9  
DICTIONARY FILE UPDATES: 15 JAN 2003 HIGHEST RN 479190-61-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 951.str

L22 STRUCTURE UPLOADED

=> s 122

SAMPLE SEARCH INITIATED 15:08:09 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

100.0% PROCESSED 8 ITERATIONS 5 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 8 TO 329  
PROJECTED ANSWERS: 5 TO 234

L23 5 SEA SSS SAM L22

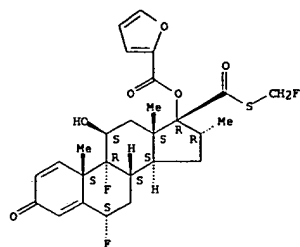
=> d scan



L23 5 ANSWERS REGISTRY COPYRIGHT 2003 ACS  
IN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-17-[(2-furanylcarbonyloxy)-11-hydroxy-16-methyl-3-oxo-, 5-(fluoromethyl) ester,  
(6.alpha.,11.beta.,16.alpha.,17.alpha.)-, compd. with 2-propanone (9CI)  
MF C27 H29 F3 O6 S . x C3 H6 O

CH 1

Absolute stereochemistry.



CH 2



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l22 full

FULL SEARCH INITIATED 15:08:25 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 127 TO ITERATE

100.0% PROCESSED 127 ITERATIONS  
SEARCH TIME: 00.00.01

67 ANSWERS

L24 67 SEA SSS FUL L22

=> file uspatful

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
148.15	803.77

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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CA SUBSCRIBER PRICE

FILE 'USPATFULL' ENTERED AT 15:08:31 ON 16 JAN 2003  
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 16 Jan 2003 (20030116/PD)  
FILE LAST UPDATED: 16 Jan 2003 (20030116/ED)  
HIGHEST GRANTED PATENT NUMBER: US6507953  
HIGHEST APPLICATION PUBLICATION NUMBER: US2003014799  
CA INDEXING IS CURRENT THROUGH 16 Jan 2003 (20030116/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 16 Jan 2003 (20030116/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2002  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2002

>>> USPAT2 is now available. USPATFULL contains full text of the <<<  
>>> original, i.e., the earliest published granted patents or <<<  
>>> applications. USPAT2 contains full text of the latest US <<<  
>>> publications, starting in 2001, for the inventions covered in <<<  
>>> USPATFULL. A USPATFULL record contains not only the original <<<  
>>> published document but also a list of any subsequent <<<  
>>> publications. The publication number, patent kind code, and <<<  
>>> publication date for all the US publications for an invention <<<  
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<  
>>> records and may be searched in standard search fields, e.g., /PN, <<<  
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<  
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<  
>>> enter this cluster. <<<  
>>> <<<  
>>> Use USPATALL when searching terms such as patent assignees, <<<  
>>> classifications, or claims, that may potentially change from <<<  
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> s l24

L25 3 L24

=> d his

(FILE 'HOME' ENTERED AT 14:42:07 ON 16 JAN 2003)

FILE 'REGISTRY' ENTERED AT 14:42:12 ON 16 JAN 2003

L1 1 S FLUTICASONE/CN  
L2 STRUCTURE UPLOADED  
L3 7 S L2  
L4 96 S L2 FULL

FILE 'USPATFULL' ENTERED AT 14:45:19 ON 16 JAN 2003

L5 149 S L4

FILE 'REGISTRY' ENTERED AT 14:45:52 ON 16 JAN 2003

L6 STRUCTURE UPLOADED  
L7 95 S L6 FULL SUB=L4

FILE 'CAPLUS' ENTERED AT 14:46:41 ON 16 JAN 2003

L8 450 S L7/THU  
L9 232 S L8 NOT PY>=2001  
L10 543 S L7  
L11 34 S L10 AND SURFACTANT?

FILE 'REGISTRY' ENTERED AT 14:50:40 ON 16 JAN 2003

L12 86 S L7 AND 1/NC

FILE 'CAPLUS' ENTERED AT 14:51:34 ON 16 JAN 2003

L13 443 S L12/THU  
L14 232 S L13 NOT PY>=2001  
L15 179 S L13 NOT PY>=2000  
L16 28 S L13 AND SURFACTANT?  
L17 5 S L13 AND ETHANEDIYL?

FILE 'USPATFULL' ENTERED AT 14:59:43 ON 16 JAN 2003

L18 99 S L12  
L19 64 S L18 AND SURFACTANT?  
L20 3 S L18 AND ETHANEDIYL?

FILE 'BEILSTEIN' ENTERED AT 15:05:00 ON 16 JAN 2003

L21 8 S L6 FULL

FILE 'CAPLUS' ENTERED AT 15:06:52 ON 16 JAN 2003

FILE 'REGISTRY' ENTERED AT 15:07:50 ON 16 JAN 2003

L22 STRUCTURE UPLOADED  
L23 5 S L22  
L24 67 S L22 FULL

FILE 'USPATFULL' ENTERED AT 15:08:31 ON 16 JAN 2003

L25 3 S L24

=> d ibib ab hitstr 1-3

## L25 ANSWER 1 OF 3 USPATFULL

ACCESSION NUMBER: 2002:315098 USPATFULL  
 TITLE: Novel anti-inflammatory androstane derivative  
 INVENTOR(S): Biggadike, Keith, Stevenage, UNITED KINGDOM

NUMBER	KIND	DATE
US 2002177581	A1	20021128
US 2002-66836	A1	20020204 (10)

PATENT INFORMATION: US 2002177581 A1 20021128  
 APPLICATION INFO.: US 2002-66836 A1 20020204 (10)  
 RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2001-958050, filed on 2 Oct 2001, PENDING A 371 of International Ser. No. WO 2001-GB3495, filed on 3 Aug 2001, UNKNOWN

NUMBER	DATE
GB 2000-19172	20000805

PRIORITY INFORMATION: GB 2000-19172 20000805  
 DOCUMENT TYPE: Utility  
 FILE SEGMENT: APPLICATION  
 LEGAL REPRESENTATIVE: DAVID J LEVY, CORPORATE INTELLECTUAL PROPERTY, GLAXOSMITHKLINE, FIVE MOORE DR., PO BOX 13398, RESEARCH TRIANGLE PARK, NC, 27709-3398

NUMBER OF CLAIMS: 18  
 EXEMPLARY CLAIM: 1  
 NUMBER OF DRAWINGS: 5 Drawing Page(s)  
 LINE COUNT: 1942

## CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB According to one aspect of the invention, there is provided a pharmaceutical formulation for administration by inhalation comprising a compound of formula (1), ##STR1##

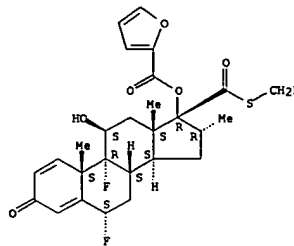
or a solvate thereof, together with a long-acting .beta..sub.2- adrenoreceptor agonist which formulation has a therapeutically useful effect in the treatment of inflammatory disorders of the respiratory tract over a period of 24 hours or more.

IT 397864-44-7P (prepn. of furan-contg. androstadiene deriv. for respiratory tract inflammation treatment)

RN 397864-44-7 USPATFULL  
 CN Androsta-1,4-diene-17-carboxylic acid, 6,9-difluoro-17-[(2-furanylcarbonyl)oxy]-11-hydroxy-16-methyl-3-oxo-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)-, compd. with 2-propanone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## L25 ANSWER 1 OF 3 USPATFULL (Continued)



IT 397864-49-2P

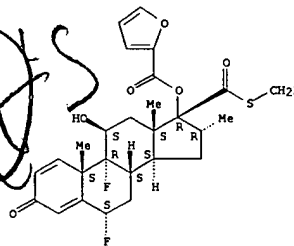
(prepn. of furan-contg. androstadiene deriv. for respiratory tract inflammation treatment)

RN 397864-49-2 USPATFULL  
 CN Androsta-1,4-diene-17-carboxylic acid, 6,9-difluoro-17-[(2-furanylcarbonyl)oxy]-11-hydroxy-16-methyl-3-oxo-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)-, compd. with 2-propanone (9CI) (CA INDEX NAME)

CM 1

CRN 397864-44-7  
 CMF C27 H29 F3 O6 S

Absolute stereochemistry.



## L25 ANSWER 1 OF 3 USPATFULL (Continued)

CM 2  
 CRN 67-64-1  
 CMF C3 H6 O



IT 397864-45-8P 397864-46-9P 397864-47-0P

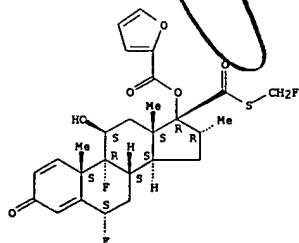
397864-48-1P (prepn. of furan-contg. androstadiene deriv. for respiratory tract inflammation treatment)

RN 397864-45-8 USPATFULL  
 CN Androsta-1,4-diene-17-carboxylic acid, 6,9-difluoro-17-[(2-furanylcarbonyl)oxy]-11-hydroxy-16-methyl-3-oxo-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)-, compd. with 2-butanone (9CI) (CA INDEX NAME)

CM 1

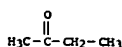
CRN 397864-44-7  
 CMF C27 H29 F3 O6 S

Absolute stereochemistry.



CM 2

CRN 78-93-3  
 CMF C4 H8 O



RN 397864-46-9 USPATFULL

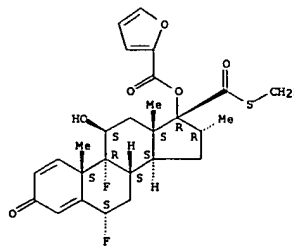
## L25 ANSWER 1 OF 3 USPATFULL (Continued)

CN Androsta-1,4-diene-17-carboxylic acid, 6,9-difluoro-17-[(2-furanylcarbonyl)oxy]-11-hydroxy-16-methyl-3-oxo-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)-, compd. with 2-propanone (9CI) (CA INDEX NAME)

CM 1

CRN 397864-44-7  
 CMF C27 H29 F3 O6 S

Absolute stereochemistry.



CM 2

CRN 67-63-0  
 CMF C3 H8 O



RN 397864-47-0 USPATFULL

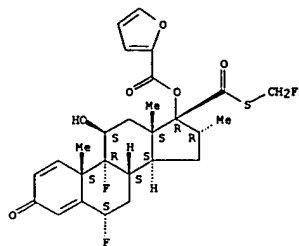
CN Androsta-1,4-diene-17-carboxylic acid, 6,9-difluoro-17-[(2-furanylcarbonyl)oxy]-11-hydroxy-16-methyl-3-oxo-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)-, compd. with tetrahydrofuran (9CI) (CA INDEX NAME)

CM 1

CRN 397864-44-7  
 CMF C27 H29 F3 O6 S

Absolute stereochemistry.

L25 ANSWER 1 OF 3 USPATFULL (Continued)



CM 2

CRN 109-99-9  
CMF C4 H9 O

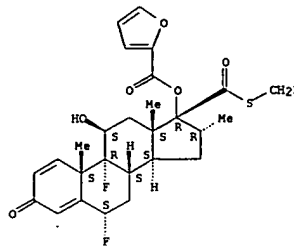
RN 397864-48-1 USPATFULL  
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-17-[(2-furanylcarbonyloxy)-11-hydroxy-16-methyl-3-oxo-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)-, compd. with N,N-dimethylformamide (9CI) (CA INDEX NAME)

CM 1

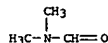
CRN 397864-44-7  
CMF C27 H29 F3 O6 S

Absolute stereochemistry.

L25 ANSWER 1 OF 3 USPATFULL (Continued)



CM 2

CRN 68-12-2  
CMF C3 H7 N O

L25 ANSWER 2 OF 3 USPATFULL

ACCESSION NUMBER: 2002:308370 USPATFULL  
TITLE: Formulation containing novel anti-inflammatory androstane derivative  
INVENTOR(S): Biggadike, Keith, Stevenage, UNITED KINGDOM

NUMBER	KIND	DATE
US 2002173496	A1	20021121
US 2002-66964	A1	20020204 (10)

PATENT INFORMATION:  
APPLICATION INFO.: Continuation-in-part of Ser. No. US 2001-958050, filed on 2 Oct 2001, PENDING Continuation-in-part of Ser. No. WO 2001-GB3495, filed on 3 Aug 2001, UNKNOWN  
RELATED APPLN. INFO.:

NUMBER	DATE
GB 2000-19172	20000805

PRIORITY INFORMATION:  
DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Glaxo Wellcome Inc., 5 Moore Drive, Mai B497, Durham, NC, 27709  
NUMBER OF CLAIMS: 19  
EXEMPLARY CLAIM: 1  
LINE COUNT: 1065

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is provided a pharmaceutical aerosol formulation comprising (i) a compound of formula (I) ##STR1##

or a solvate thereof as medicament, (ii) a liquified hydrofluoroalkane (HFA) gas as propellant; and characterized in that the compound of formula (I) or a solvate thereof is completely dissolved in the formulation.

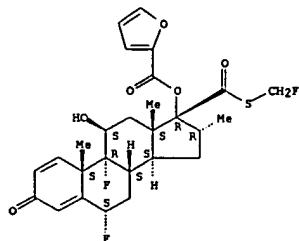
IT 397864-44-7P

(formulation contg. novel anti-inflammatory androstane deriv.)

RN 397864-44-7 USPATFULL

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-17-[(2-furanylcarbonyloxy)-11-hydroxy-16-methyl-3-oxo-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 2 OF 3 USPATFULL (Continued)

L25 ANSWER 3 OF 3 USPATFULL

ACCESSION NUMBER: 2002:295165 USPATFULL  
 TITLE: Formulation containing anti-inflammatory androstane derivative  
 INVENTOR(S): Siggadike, Keith, Stevenage, UNITED KINGDOM  
 Sayani, Azyn Pyarali, Mississauga, CANADA  
 Buxton, Ian Richard, Mississauga, CANADA  
 Reed, Kenton Lewis, Mississauga, CANADA

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002165211	A1	20021107
APPLICATION INFO.:	US 2002-67020	A1	20020204 (10)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2001-958050, filed on 2 Oct 2001, PENDING Continuation of Ser. No. WO 2001-GB3495, filed on 3 Aug 2001, UNKNOWN		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2000-19172	20000805
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	GlaxoSmithKline, Corporate Intellectual Property Dept., Five Moore Drive, PO Box 13398, Research Triangle Park, NC, 27709	
NUMBER OF CLAIMS:	25	
EXEMPLARY CLAIM:	1	
LINE COUNT:	941	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is provided a pharmaceutical formulation comprising an aqueous suspension of particulate compound of formula (I) ##STR1##

or a solvate thereof.

IT 397864-44-7P

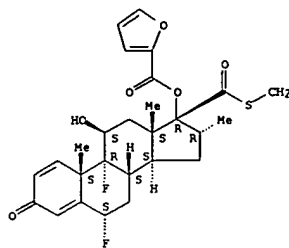
(pharmaceutical formulation contg. anti-inflammatory androstane deriv.)

RW 397864-44-7 USPATFULL

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-17-((2-furanylcarbonyl)oxy)-11-hydroxy-16-methyl-3-oxo-, 5-(fluoromethyl) ester, (6.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 3 OF 3 USPATFULL (Continued)



10/066,951

Page 40

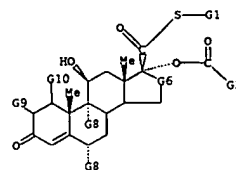
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L28 ANSWER 1 of 11 MARRPAT COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 137:353214 MARRPAT  
TITLE: Preparation of 17.alpha.-(cycloalkylcarbonyloxy)andros  
tane-17.beta.-carbothioate derivatives as  
anti-inflammatory agents  
INVENTOR(S): Biggadike, Keith; Jones, Paul; Payne, Jeremy John  
PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
SOURCE: PCT Int. Appl., 43 pp.  
CODEN: PIXX02  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200208167	A1	20021107	WO 2002-GB9171	20020430
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, IL, IN, JP, KG, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, A2, BY, KG, KZ, MD, RU, TJ, TH			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, IE, IT, LU, MC, NL, PT, SE, TA, CY, DE, DK, ES, FI, FR, GB, GR, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, 30, 31, 32, 33, 34, 35, 36, 37, 38, 39, 40, 41, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 58, 59, 60, 61, 62, 63, 64, 65, 66, 67, 68, 69, 70, 71, 72, 73, 74, 75, 76, 77, 78, 79, 80, 81, 82, 83, 84, 85, 86, 87, 88, 89, 90, 91, 92, 93, 94, 95, 96, 97, 98, 99, 00, 01, 02, 03, 04, 05, 06, 07, 08, 09, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, 30, 31, 32, 33, 34, 35, 36, 37, 38, 39, 40, 41, 42, 43, 44, 45, 46, 47, 48, 49, 50, 51, 52, 53, 54, 55, 56, 57, 58, 59, 60, 61, 62, 63, 64, 65, 66, 67, 68, 69, 70, 71, 72, 73, 74, 75, 76, 77, 78, 79, 80, 81, 82, 83, 84, 85, 86, 87, 88, 89, 90, 91, 92, 93, 94, 95, 96, 97, 98, 99, 00, 01, 02, 03, 04, 05, 06, 07, 08, 09, 10, 11, 12, 13, 14, 15, 16, 17, 18, 19, 20, 21, 22, 23, 24, 25, 26, 27, 28, 29, 30, 31, 32, 33, 34, 35, 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86, 87, 88, 89, 90, 91, 92, 93, 94, 95, 96, 97, 98, 99, 00, 01, 02, 03, 04, 05, 06, 07, 08, 09,			

AB The title compounds, I [R1 = C1-6 alkyl, C1-6 haloalkyl; R2 = C3-8 cycloalkyl, C3-8 cycloalkenyl; R3 = H, Me (which may be in either the .alpha. or .beta. configuration), methylene; R4, R5 = H, halogen; dashed bond = single or double bond], and solvates thereof, were prep'd. for treatment of inflammation and allergic reactions. 1.alpha.,9.alpha.-difluoro-11.beta.,17.alpha.-dihydroxy-16.alpha.-methyl-3-oxo-androsta-1,4-diene-17.beta.-carbothioic acid was treated with cyclobutanecarbonyl chloride and the product was treated with BrCH2F to afford 6.alpha.,9.alpha.-difluoro-11.beta.-hydroxy-16.alpha.-methyl-17.alpha.-[cyclobutanecarbonyl]oxy-3-oxo-androsta-1,4-diene-17.beta.-carbothioic acid. In vitro assays of 11 beta-hydroxysteroid dehydrogenase activity showed that the compound was active at concentrations of <2 µM in the absence of NADPH.

MSTR 1



G1 = 40

$$\text{H}_2\text{C}-\text{G11}$$

G6 - 34

HC—G7

G7 - Mg

G8 - X

G11 - F  
MPL: cl

NTE: and solvates

REFERENCE COUNT:

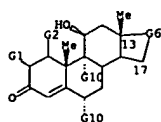
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 11 MARPAT COPYRIGHT 2003 ACS  
 137:37642 MARPAT  
 TITLE: Preparation and formulation of a quinolinone compound  
 for treatment of airway disorders  
 INVENTOR(S): Cuenoud, Bernard; Fairhurst, Robin Alec; Lowther,  
 Nicholas  
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen  
 Verwaltungsgesellschaft m.b.H.  
 SOURCE: PCT Int. Appl., 25 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002045703	A2	20020618	WO 2001-EP14122	20011203
V:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EG, EE, ES, FI, FR, GB, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LG, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR			
AU 2002017082	AS	20020618	AU 2002-17082	20011203
PRIORITY APPLN. INFO.:			GB 2000-29562	20001204

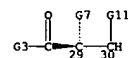
AB An inhalation compn. comprises, sep. or together, (A) a quinolone compd. (I) in free or pharmaceutically acceptable salt or solvate form and (B) a corticosteroid, useful for simultaneous, sequential or sep. administration in the treatment of an inflammatory or obstructive airway disease. The molar ratio of (A) to (B) is from 100:1 to 1:300. A compn. is an aerosol or a dry powder in a capsule. For example, an aerosol formulation was prepd. by dispensing 10 parts of micronized 1 maleate, 10 parts of mometasone furoate, and 100 parts of lactose (bulking agent) into a vial, sealing the vial with a metering valve, injecting the premix of 2500 parts of ethanol, 30,500 parts of propellant HFA134a, 67,000 parts of propellant HFA77, and 0.5 parts of oleic acid (surfactant) into the vial through the valve, and subjecting the vial to ultrasonic energy to disperse the solid particles.

**MSTR 1**



G3 = alkylthio<(1-4)> (SO (1-) X)  
G6 = 29-13 30-17

L28 ANSWER 2 OF 11 MARPAT COPYRIGHT 2003 ACS (Continued)



G7      = acyclovir

G10 - F

G11 - Me  
MPL: cl

[illegible]

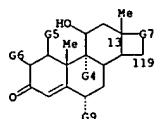


L28 ANSWER 3 OF 11 MARPAT COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 136:189378 MARPAT  
 TITLE: Topical formulations containing androstanes and surfactants  
 INVENTOR(S): Johnson, Keith Arthur  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 25 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002013868	A1	20020221	WO 2001-US25334	20010813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001083344	A5	20020225	AU 2001-83344	20010813
PRIORITY APPLN. INFO.: US 2000-225328P 20000814 WO 2001-US25334 20010813				

AB A topical formulation including a solvent, an occlusive agent, a surfactant system, an androstane steroid and water. Thus, a cream contained fluticasone propionate 0.05, propylene glycol 10.0, microcryst. wax 10.0, cetostearyl alc. 2.0, liq. paraffin 32.5, iso-Pr myristate 7.5, Arlacel-165 2.0, sorbitan monostearate 1.0, Dimethicone-360 2.5, imidurea 0.2, dibasic sodium phosphate 0.06, citric acid 0.05, and water to 100%.

MSTR 1

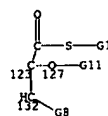


G1 = 114

H<sub>2</sub>C—G3  
114

G3 = F  
 G4 = F  
 G7 = 123-13 132-119

L28 ANSWER 3 OF 11 MARPAT COPYRIGHT 2003 ACS (Continued)



G8 = Me  
 G9 = F  
 G11 = 128



MPL: claim 1  
 NTE: or pharmaceutically acceptable salts

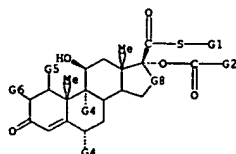
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 4 OF 11 MARPAT COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 136:189378 MARPAT  
 TITLE: Preparation of 17.alpha.-(arylcabonyloxy)androstane-17.beta.-carbothioate derivatives as anti-inflammatory agents  
 INVENTOR(S): Biggadike, Keith; Jones, Paul; Payne, Jeremy John  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 65 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012266	A1	20020214	WO 2001-GB3499	20010803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001076497	A5	20020218	AU 2001-76497	20010803
PRIORITY APPLN. INFO.: GB 2000-19172 20000805 GB 2001-8800 20010407 WO 2001-GB3499 20010803				

AB The title compds. I (R1 = C1-6 alkyl or C1-6 haloalkyl; R2 = -C(=O)-aryl or -C(=O)-heteroaryl; R3 = H, Me (which may be in either the .alpha. or .beta. configuration) or methylene; R4 and R5 are the same or different and each represents H or halogen; and dots represents a single or a double bond); and salts and solvates were prepd. for treatment of inflammatory and allergic conditions. Thus, 6.alpha.,9.alpha.-difluoro-11.beta.,17.alpha.-dihydroxy-16.alpha.-methyl-3-oxoandrosta-1,4-diene-17.beta.-carbothioic acid was treated with 2-furoyl chloride and the product treated with BrCH<sub>2</sub>F to give 6.alpha.,9.alpha.-difluoro-17.alpha.-[(2-furanylcarbonyloxy)-11.beta.-hydroxy-16.alpha.-methyl-3-oxoandrosta-1,4-diene-17.beta.-carbothioic acid 5-fluoromethyl ester (II)]. The ED<sub>50</sub> of II for transactivation (glucocorticoid receptor) was 0.06 nM and the ED<sub>50</sub> transrepression (NF.kappa.B) was 0.20 nM. Pharmacokinetics after i.v. and oral dosing in rats was studied for II.

MSTR 1



L28 ANSWER 4 OF 11 MARPAT COPYRIGHT 2003 ACS (Continued)

G1 = 114



G3 = Me  
 G4 = X  
 G8 = 36



G9 = F  
 MPL: claim 1  
 NTE: and salts and solvates

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

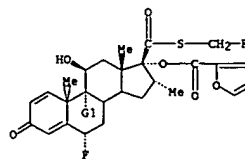
L28 ANSWER 5 OF 11 MARPAT COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 136:172802 MARPAT  
 TITLE: A novel androstane as an anti-inflammatory agent  
 INVENTOR(S): Biggsdike, Keith; Coote, Steven John; Nice, Rosalyn Kay  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 73 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012265	A1	20020214	WO 2001-GB3495	20010803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LA, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001075760	A5	20020218	AU 2001-75760	20010803
US 2002165211	A1	20021107	US 2002-67020	20020204
US 2002173496	A1	20021121	US 2002-66964	20020204
US 2002177581	A1	20021128	US 2002-66836	20020204
PRIORITY APPLN. INFO.: GB 2000-19172 20000805				
GB 2001-8800 20010407				
WO 2001-GB3495 20010803				
US 2001-958050 20011002				

AB A novel androstane compd., i.e., 6.alpha.,9.alpha.-difluoro-17.alpha.-{[2-furanylcarbonyloxy]-11.beta.-hydroxy-16.alpha.-methyl-3-oxo-androsta-1,4,-diene-17.beta.-carbothioic acid 5-fluoromethyl ester (I) and its solvates are prepd. and formulated as anti-inflammatory and antiallergic agents for use in veterinary or human medicine. For example, a formulation for intranasal delivery was prepd. contg. unsolvated micronized 10 mg, Polysorbate 20 0.8 mg, sorbitan monolaurate 0.09 mg, sodium dihydrogen phosphate dihydrate 94 mg, dibasic sodium phosphate 17.5 mg, sodium chloride 48 mg, and water to 10 mL. The formulation was fitted into a spray pump capable of delivering a plurality of metered doses (Valois).

MPTR 3

L28 ANSWER 5 OF 11 MARPAT COPYRIGHT 2003 ACS (Continued)



G1 = X  
 MPL: claim 43

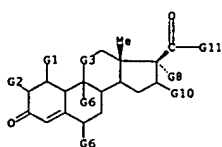
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 6 OF 11 MARPAT COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 135:211173 MARPAT  
 TITLE: Method for the preparation of fluticasone and related 17.beta.-carbothioic esters using a novel carbothioic acid synthesis and novel purification methods  
 INVENTOR(S): Barkalow, Jufang; Chamberlin, Steven A.; Cooper, Arthur J.; Hossain, Azad; Hufnagel, John J.; Langridge, Denton C.  
 PATENT ASSIGNEE(S): Abbott Laboratories, USA  
 SOURCE: PCT Int. Appl., 33 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062722	A2	20010830	WO 2001-US6055	20010223
WO 2001062722	A3	20020516		
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 2002133032	A1	20020919	US 2000-513399	20000225
EP 1257531	A2	20021120	EP 2001-916231	20010223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
PRIORITY APPLN. INFO.: US 2000-513399 20000225				
WO 2001-US6055 20010223				

OTHER SOURCE(S): CASREACT 135:211173  
 AB A method for converting a carboxylic acid to a carbothioic acid group I (R and R1 independently are C1-6 alkyl or R and R1 independently are C1-6 alkylene) was accomplished. This method was used for the conversion of carboxylic acids to carbothioic acids, and for both the prepn. of androstane 17.beta.-carbothioic acids and fluticasone propionate which avoided the use of column chromatog. Thus II was prepd. from flumethasone reacted in Pd(II) acetate and PPh3 in DMA yielding the 17.beta.-carboxylic acid which was treated with propionyl chloride followed by N,N-dimethylthiocarbamoyl chloride and then chlorofluoromethane yielding II in 70%.

MPTR 2



G3 = 31

HC-G4  
 31

L28 ANSWER 6 OF 11 MARPAT COPYRIGHT 2003 ACS (Continued)

G4 = OH  
 G6 = F  
 G8 = 36

G9

G10 = Me  
 G11 = 41

G11

MPL: claim 23  
 NTE: also incorporates claim 24

L28 ANSWER 7 OF 11 MARPAT COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 133:187953 MARPAT  
 TITLE: Nitrosated and nitrosylated steroids for the treatment of cardiovascular diseases and disorders  
 INVENTOR(S): Garvey, David S.; Worcel, Manuel  
 PATENT ASSIGNEE(S): Nitrosated, Inc., USA  
 SOURCE: PCT Int. Appl., 85 pp.  
 CODEN: PIXX02  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000049993	A2	20000831	WO 2000-US4507	20000223
WO 2000049993	A3	20001130		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG

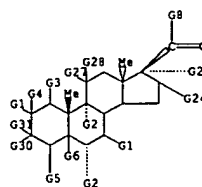
AU 2000037039 A5 20000914 AU 2000-37039 20000223  
 US 1999-256171 19990224  
 US 2000-US4507 20000223

PRIORITY APPLN. INFO.:  
 WO 2000-US4507 20000223

AB The present invention relates to nitrosated and/or nitrosylated steroids and to methods for the treatment of cardiovascular diseases and disorders, particularly the prophylactic and/or therapeutic treatment of restenosis, by administering nitrosated and/or nitrosylated steroids that are capable of releasing nitric oxide or indirectly delivering or transferring nitric oxide to targeted sites under physiolo. conditions. The methods for the treatment of cardiovascular diseases and disorders may further comprise administering at least one compd. that donates, transfers, or releases nitric oxide and/or elevate endogenous nitric oxide or endothelium-derived relaxing factor in vivo and/or is a substrate for nitric oxide synthase. Dexamethasone and prednisolone 21-nitrates were prepd. and were superior relative to the parent steroid in inhibiting the proliferation of vascular smooth muscle cells.

MSTR 1A

L28 ANSWER 7 OF 11 MARPAT COPYRIGHT 2003 ACS (Continued)



G2 = F  
 G8 = 34

G10

G10 = Ak+EC (1-10) C, BD (0-) D (0-) T> (SR (1-) G11)  
 G11 = F  
 G18 = O  
 G21 = 71

G18-C(O)G22

G24 = 82

G10G15

G27 = OH  
 G30+G31 = O  
 MPL: claim 1  
 NTE: substitution is restricted  
 NTE: additional double bond and oxo formation also claimed

L28 ANSWER 8 OF 11 MARPAT COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 132:308545 MARPAT  
 TITLE: Preparation of soft steroids having anti-inflammatory activity  
 INVENTOR(S): Bodor, Nicholas S.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S., 47 pp., Cont. of U.S. Ser. No. 626,535, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

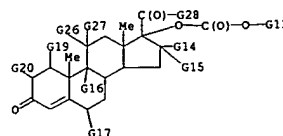
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4996335	A	19910226	US 1985-807034	19851209
ZA 8104440	A	19821027	ZA 1981-4440	19810620
CA 1174667	A1	19840918	CA 1981-381293	19810708
SU 1318169	A3	19870615	SU 1981-3306552	19810709
JP 58206561	A2	19831201	JP 1982-101940	19820614
JP 2587034	B2	19970305		
AT 8402656	A	19850715	AT 1984-2656	19840820
AT 379817	B	19860310		
WO 8903390	A1	19890420	WO 1987-US2590	19871013
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
EP 334853	A1	19891004	EP 1987-907186	19871013
EP 334853	B1	19930609		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 90355	E	19930615	AT 1987-907186	19871013

PRIORITY APPLN. INFO.:  
 US 1980-168453 19800710  
 US 1981-265785 19810521  
 US 1982-418458 19820915  
 US 1984-626535 19840629  
 AT 1981-3070 19810710  
 CA 1982-381293 19820908  
 EP 1987-907186 19871013  
 WO 1987-US2590 19871013

AB The title steroids [I; R1 = alkyl, hydroxyalkyl, haloalkyl, CH2CO2R6, CH2CONR7R8, CHR9YR11, CHR10O2CR6, (un)substituted Ph, CH2Ph; R2 = (un)substituted alkyl, cycloalkyl, alkenyl, cycloalkenyl, Ph, CH2Ph; R3 = H, alpha- or .beta.-OH, -O2COR2, -Me, -CH2; R4 = H, F, Cl; R5 = H, F, Cl, Me; R6 = (un)substituted alkyl, cycloalkyl, alkenyl, cycloalkenyl; R7, R8 = H, alkyl, cycloalkyl, Ph, CH2Ph; R7R8 = satd. monocyclic amine; R9 = H, alkyl, Ph; R10 = H, alkyl, Ph, halophenyl; R11 = alkyl; R9R11 = alkylene; X = O, S; Y = O, S, SO, SO2] are prepd. as antiinflammatory agents. Thus, oxidn. of hydrocortisone with NaIO4 gave cortienic acid (II, R1 = R2 = H), which was treated with Me chloroformate, converted to the Na salt and esterified using CH2ClI to give III (R1 = CH2Cl, R2 = MeO2C). At 1 mg/cotton pellet II (R1 = CH2Cl, R2 = EtO2C) inhibited granulation tissue in rats by 68%.

MSTR 1

L28 ANSWER 8 OF 11 MARPAT COPYRIGHT 2003 ACS (Continued)



G1 = 69

H2C-G30

G14 = Me  
 G16 = F  
 G17 = F  
 G18 = S  
 G26 = OH  
 G30 = F  
 DER: and salts  
 MPL: claim 1  
 NTE: substitution is restricted  
 NTE: additional ring formation also claimed  
 NTE: also incorporates structures III, IV, and VIII

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 9 OF 11 MARPAT COPYRIGHT 2003 ACS

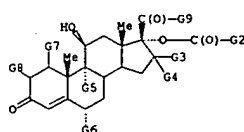
ACCESSION NUMBER: 129:276096 MARPAT  
 TITLE: Process for the manufacture of androstane-17-carbothioates via esterification with haloalkylmethanes  
 INVENTOR(S): Chertez, Stephen  
 PATENT ASSIGNEE(S): Chemaqis Ltd., Israel  
 SOURCE: Israeli, 15 pp.  
 CODEN: ISXXAQ  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IL 109656	A1	19980222	IL 1994-109656	19940515
PRIORITY APPLN. INFO.: IL 1994-109656 19940515				

OTHER SOURCE(S): CASREACT 129:276096

AB A process for the prepn. of an androstane-17-carbothioic ester I [R1 = fluoromethyl, difluoromethyl, trifluoromethyl; R2 = COR6; R6 = Cl-3-alkyl; R3 = H, .alpha.-Me, .beta.-Me, methylene; R = H, Cl, F; R5 = H, F; dotted line = single or double bond] by the direct esterification of a corresponding androstane-17-carbothioic acid I [R1 = H] with a haloalkylmethane of formula XCH2F, XCHF2 or XCF3 [X = Br, Cl] and optionally in the presence of a catalyst is claimed. Thus, fluticasone propionate (I; R1 = CH2F, R2 = COEt, R3 = Me, R4 = R5 = Me, dashed line = double bond) was prepd. via esterification of I (R1 = H, R2 = COEt, R3 = Me, R4 = R5 = Me, dashed line = double bond) with BrCH2F in THF contg. potassium tert-butoxide and catalytic Bu4NBr.

MSTR 1



G3 = Me  
 G5 = F  
 G6 = F  
 G9 = 38



MPL: claim 1

L28 ANSWER 9 OF 11 MARPAT COPYRIGHT 2003 ACS (Continued)

L28 ANSWER 10 OF 11 MARPAT COPYRIGHT 2003 ACS

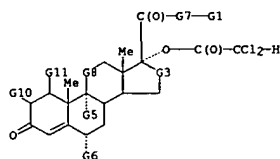
ACCESSION NUMBER: 128:23055 MARPAT  
 TITLE: Preparation of androstene deriva. for use as anti-inflammatory agents  
 INVENTOR(S): Bodor, Nicholas S.  
 PATENT ASSIGNEE(S): Soft Drugs, Inc., USA  
 SOURCE: PCT Int. Appl., 102 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9742214	A1	19971113	WO 1997-US6812	19970501
V: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RV: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, HR, NE, SN, TD, TG				
US 5981517	A	19991109	US 1997-840038	19970424
CA 2254079	AA	19971113	CA 1997-2254079	19970501
AU 9727407	A1	19971126	AU 1997-27407	19970501
AU 721622	B2	20000713		
EP 902789	A1	19990324	EP 1997-921345	19970501
EP 902789	B1	20020123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1224429	A	19990728	CN 1997-196075	19970501
BR 9709062	A	20000104	BR 1997-9062	19970501
JP 20000509716	T2	20000802	JP 1997-539949	19970501
JP 3328938	B2	20020930		
AT 212351	E	20020215	AT 1997-921345	19970501
ES 2171933	T3	20020916	ES 1997-921345	19970501
NO 9805232	A	19981204	NO 1998-5232	19981109
NO 2001005790	A	19980504	NO 2001-5790	20011127
PRIORITY APPLN. INFO.: US 1996-17102P 19960509				
US 1997-840038 19970424				
WO 1997-US6812 19970501				

AB Androstenes I [R = .beta.-OH, .beta.-Cl, oxo; R1 = Cl, F, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl; R2 = H; R2R2 = bond; R3 = H, OH, Me, methylene, OCOCHCl2; R4 = H, F, Cl; R5 = H, F, Cl, Me; X = O, S] were prepd. and formulated for use as anti-inflammatory agents. Thus, ester II was prepd. starting from prednisolone and gave an IC50 value of 40.06 when tested for rat lung glucocorticoid receptor binding activity.

MSTR 1

L28 ANSWER 10 OF 11 MARPAT COPYRIGHT 2003 ACS (Continued)



G2 = F  
 G3 = 26



G4 = Me  
 G5 = F  
 G6 = F  
 G7 = S  
 G8 = 38



G9 = OH  
 G12 = alkylene<(1-4)>  
 MPL: claim 1

L28 ANSWER 11 OF 11 MARPAT COPYRIGHT 2003 ACS

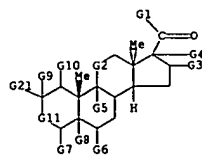
ACCESSION NUMBER: 127:121915 MARPAT  
 TITLE: Preparation of novel steroid nitrite/nitrate ester derivatives for use as antiinflammatory drugs  
 INVENTOR(S): Tjoeng, Foe S.; Currie, Mark G.; Zupec, Mark E.  
 PATENT ASSIGNEE(S): G.D. Seattle & Co., USA; Tjoeng, Foe S.; Currie, Mark G.; Zupec, Mark E.  
 SOURCE: PCT Int. Appl., 52 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9721721	A1	19970619	WO 1996-US19219	19961206
V: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LA, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5707984	A	19980113	US 1995-569812	19951208
CA 2239910	AA	19970619	CA 1996-2239910	19961206
AU 9712772	A1	19970703	AU 1997-12772	19961206
EP 873351	A1	19981028	EP 1996-943559	19961206
EP 873351	B1	20000802		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000501732	T2	20000215	JP 1997-522081	19961206
AT 195128	E	20000815	AT 1996-943559	19961206
ES 2150152	T3	20001116	ES 1996-943559	19961206
PRIORITY APPLN. INFO.: US 1995-569812 19951208 WO 1996-US19219 19961206				

AB Nitrite/nitrate steroid esters I (XX1 = C:CH, CHCH2; X2X3 = C(R5):CH, CH(R5)CH2; Q = P = H, halogen, alkyl; R1 = H, OH, ONO, ONO2, halogen, sulfonyl, alkylthio, acyloxy, alkoxy, silyloxy, alkyl, alkenyl, alkynyl; R2 = H, OH, ONO, ONO2, alkoxy; R3 = R4 = H, OH, ONO, ONO2, alkyl, alkenyl, alkynyl, alkoxy; R5 = H, halogen; R6 = H, OH, oxo) were prepd. for use as antiinflammatory agents and smooth muscle relaxants. Thus, pregna-1,4-dien-3,20-dione nitrite ester II was prepd. by reacting prednisolone-21-acetate with amyl nitrite in acetic acid, and, when tested for smooth muscle relaxant activity, II gave an EC50 value of 0.02 .mu.M compared to >100 .mu.M for prednisolone.

MSTR 1

L28 ANSWER 11 OF 11 MARPAT COPYRIGHT 2003 ACS (Continued)



G1 = 52

S<sup>-</sup>-G14

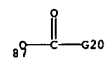
G2 = 60

H<sub>5</sub>-G18

G3 = alkylcarbonyl&lt;(1-5)&gt; (SR 74)

O-NO2

G4 = 87



G5 = F

G6 = F

G11 = C(O)

G14 = Me (SR (1-) F)

G18 = OH

DER: and pharmaceutically acceptable esters and prodrugs

MPL: claim 1

NTE: substitution is restricted

=> d his

(FILE 'HOME' ENTERED AT 14:42:07 ON 16 JAN 2003)

FILE 'REGISTRY' ENTERED AT 14:42:12 ON 16 JAN 2003

L1 1 S FLUTICASONE/CN  
L2 STRUCTURE UPLOADED  
L3 7 S L2  
L4 96 S L2 FULL

FILE 'USPATFULL' ENTERED AT 14:45:19 ON 16 JAN 2003

L5 149 S L4

FILE 'REGISTRY' ENTERED AT 14:45:52 ON 16 JAN 2003

L6 STRUCTURE UPLOADED  
L7 95 S L6 FULL SUB=L4

FILE 'CAPLUS' ENTERED AT 14:46:41 ON 16 JAN 2003

L8 450 S L7/THU  
L9 232 S L8 NOT PY>=2001  
L10 543 S L7  
L11 34 S L10 AND SURFACTANT?

FILE 'REGISTRY' ENTERED AT 14:50:40 ON 16 JAN 2003

L12 86 S L7 AND 1/NC

FILE 'CAPLUS' ENTERED AT 14:51:34 ON 16 JAN 2003

L13 443 S L12/THU  
L14 232 S L13 NOT PY>=2001  
L15 179 S L13 NOT PY>=2000  
L16 28 S L13 AND SURFACTANT?  
L17 5 S L13 AND ETHANEDIYL?

FILE 'USPATFULL' ENTERED AT 14:59:43 ON 16 JAN 2003

L18 99 S L12  
L19 64 S L18 AND SURFACTANT?  
L20 3 S L18 AND ETHANEDIYL?

FILE 'BEILSTEIN' ENTERED AT 15:05:00 ON 16 JAN 2003

L21 8 S L6 FULL

FILE 'CAPLUS' ENTERED AT 15:06:52 ON 16 JAN 2003

FILE 'REGISTRY' ENTERED AT 15:07:50 ON 16 JAN 2003

L22 STRUCTURE UPLOADED  
L23 5 S L22  
L24 67 S L22 FULL

FILE 'USPATFULL' ENTERED AT 15:08:31 ON 16 JAN 2003

L25 3 S L24

FILE 'CAPLUS' ENTERED AT 15:09:53 ON 16 JAN 2003

L26 7 S L24  
L27 0 S L26 NOT L25

FILE 'MARPAT' ENTERED AT 15:10:30 ON 16 JAN 2003

L28 11 S L6 FULL



=> s dextrose/cn

L1 1 DEXTROSE/CN

=> d cn

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS on STN

CN D-Glucose (8CI, 9CI) (CA INDEX NAME)

OTHER NAMES:

CN (+)-Glucose

CN Anhydrous dextrose

CN Cartose

CN Cerelose

CN Cerelose 2001

CN Clearsweet 95

CN Clintose L

CN Corn sugar

CN CPC hydrate

CN D(+)-Glucose

CN Dextropur

CN **Dextrose**

CN Dextrosol

CN Glucolin

CN Glucose

CN Glucosteril

CN Goldsugar

CN Grape sugar

CN Maxim Energy Gel

CN Roferose ST

CN Staleydex 111

CN Staleydex 130

CN Staleydex 333

CN Sugar, grape

CN Tabfine 097(HS)

CN Vadex

=> d scan

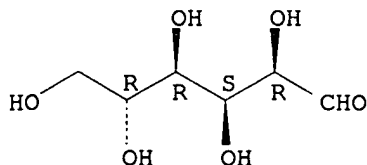
L1 1 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN D-Glucose (8CI, 9CI)

MF C6 H12 O6

CI COM

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

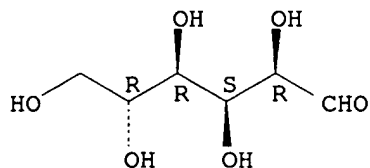


=> s glucose/cn  
L2 2 GLUCOSE/CN

=> d scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN  
IN DL-Glucose (9CI)  
MF C6 H12 O6

Relative stereochemistry.

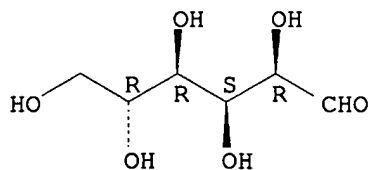


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN  
IN D-Glucose (8CI, 9CI)  
MF C6 H12 O6  
CI COM

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED